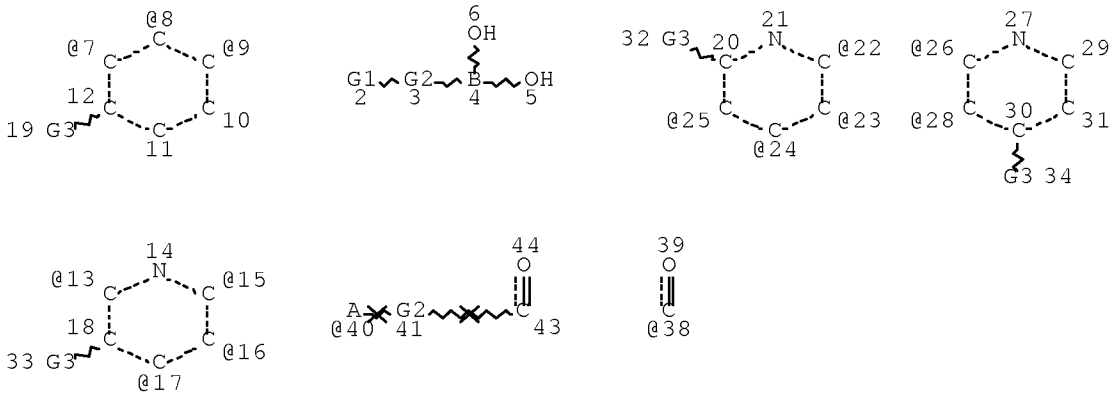
No results when R1 = (3):

```
=> fil reg
FILE 'REGISTRY' ENTERED AT 10:43:50 ON 02 JUN 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    1 JUN 2009  HIGHEST RN 1151607-22-5
DICTIONARY FILE UPDATES:   1 JUN 2009  HIGHEST RN 1151607-22-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> d que l14
L9              STR
```



```
VAR G1=7/8/9/25/24/23/22/26/28/13/15/16/17
REP G2=(0-6) A
VAR G3=40/38
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC   7  20  13  26
```

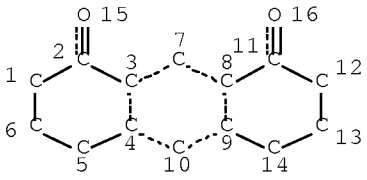
NUMBER OF NODES IS 39

STEREO ATTRIBUTES: NONE
L10 (3407)SEA FILE=REGISTRY SSS FUL L9
L11 STR

REP G1=(0-20) A
VAR G2=13/7/9
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 4
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY UNS AT 4
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE
L12 (2289)SEA FILE=REGISTRY SUB=L10 SSS FUL L11
L13 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 1
NUMBER OF NODES IS 16

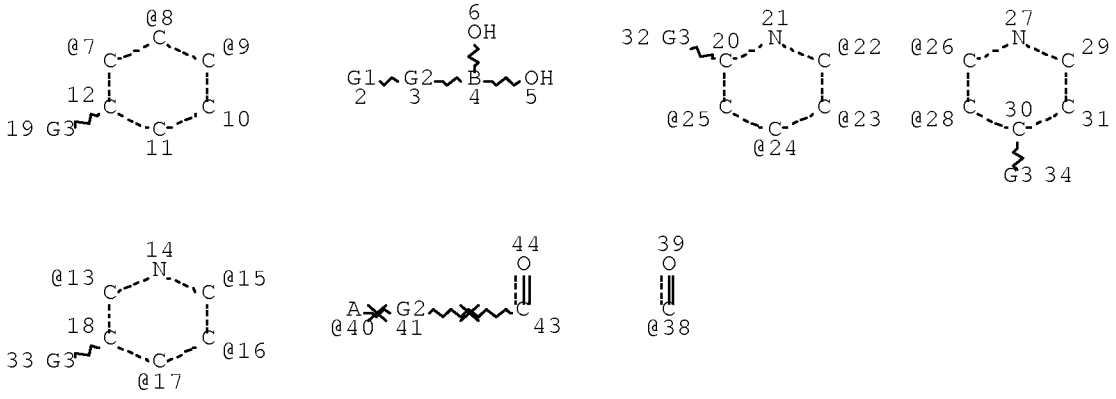
STEREO ATTRIBUTES: NONE
L14 0 SEA FILE=REGISTRY SUB=L12 SSS FUL L13

3 cmpds and 5 references when R1 = (2):

```
=> fil cap
FILE 'CAPLUS' ENTERED AT 10:43:56 ON 02 JUN 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)
```



```
FILE COVERS 1907 - 2 Jun 2009  VOL 150 ISS 23
FILE LAST UPDATED: 1 Jun 2009  (20090601/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Feb 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Feb 2009

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d que l28
L3                STR
```




```
VAR G1=7/8/9/25/24/23/22/26/28/13/15/16/17
REP G2=(0-6) A
VAR G3=40/38
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
```

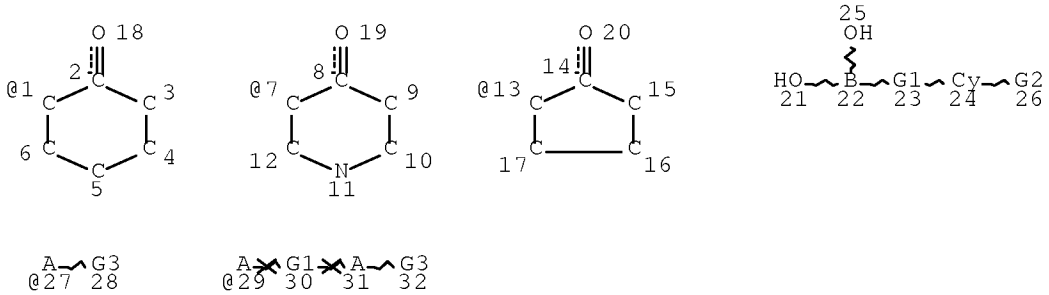
GRAPH ATTRIBUTES:
RSPEC 7 20 13 26
NUMBER OF NODES IS 39

STEREO ATTRIBUTES: NONE
L4 (3407)SEA FILE=REGISTRY SSS FUL L3
L5 STR

REP G1=(0-20) A
VAR G2=13/7/9
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 4
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY UNS AT 4
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE
L6 (2289)SEA FILE=REGISTRY SUB=L4 SSS FUL L5
L7 STR



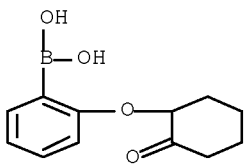
REP G1=(0-20) A
VAR G2=1/7/13/27/29
VAR G3=1/7/13
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 2 8 14
NUMBER OF NODES IS 32

STEREO ATTRIBUTES: NONE
L8 3 SEA FILE=REGISTRY SUB=L6 SSS FUL L7
L28 5 SEA FILE=CAPLUS SPE=ON ABB=ON PLU=ON L8

=> d l28 ibib abs hitstr tot

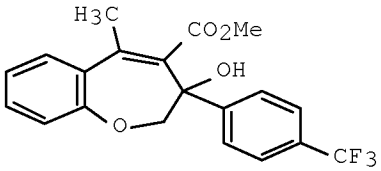
L28 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:757721 CAPLUS Full-text
DOCUMENT NUMBER: 149:288646
TITLE: Palladium(II)-catalyzed intramolecular addition of arylboronic acids to ketones
AUTHOR(S): Liu, Guixia; Lu, Xiyan
CORPORATE SOURCE: State Key Laboratory of Organometallic Chemistry, Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, 354 Fenglin Lu, Shanghai, 200032, Peop. Rep. China
SOURCE: Tetrahedron (2008), 64(30-31), 7324-7330
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 149:288646
AB A palladium(II)-catalyzed intramol. addition of arylboronic acids to ketones was developed. Compared to the Pd(OAc)2 catalysis system, a cationic palladium complex with dppp as the ligand has higher catalytic activity and efficiency for a wider scope of substrates. From this reaction, the normal addition product or the dehydrated product could be selectively obtained as controlled by additives. Highly optically active cyclic tertiary alcs. (up to 96% ee) can be obtained by using a chiral cationic palladium complex as the catalyst. Preparation of arylboronic acids from 2-iodophenol and α-bromo ketones.
IT 1048361-14-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of addition products and dehydrated products via palladium(II)-catalyzed intramol. addition of arylboronic acids to ketones)
RN 1048361-14-3 CAPLUS
CN Boronic acid, B-[2-[(2-oxocyclohexyl)oxy]phenyl]- (CA INDEX NAME)



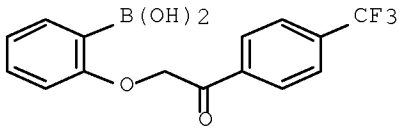
REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1306880 CAPLUS Full-text
DOCUMENT NUMBER: 149:402178
TITLE: Cationic palladium-catalyzed [5+2] annulation: synthesis of 1-benzoxepines from 2-aroylmethoxyarylboronic acids
AUTHOR(S): Liu, Guixia; Lu, Xiyan

CORPORATE SOURCE: State Key Laboratory of Organometallic Chemistry, Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, Shanghai, 200032, Peop. Rep. China
SOURCE: Advanced Synthesis & Catalysis (2007), 349(14+15), 2247-2252
CODEN: ASCAF7; ISSN: 1615-4150
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 149:402178
GI

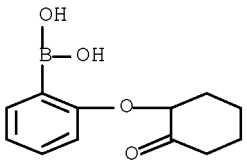


I



II

AB The synthesis of 1-benzoxepines, e.g., I, from 2-aroylmethoxyarylboronic acids, e.g., II, and alkynes in the presence of a catalytic amount of [Pd(dppp)(H2O)2]2+(TfO-)2 was developed. This [5+2] annulation involves the intramol. nucleophilic addition of a vinylpalladium species to ketones.
IT 1048361-14-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzoxepines via cationic palladium-catalyzed [5+2] heterocyclization of (aroylmethoxy)arylboronic acids and internal alkynes)
RN 1048361-14-3 CAPLUS
CN Boronic acid, B-[2-[(2-oxocyclohexyl)oxy]phenyl]- (CA INDEX NAME)

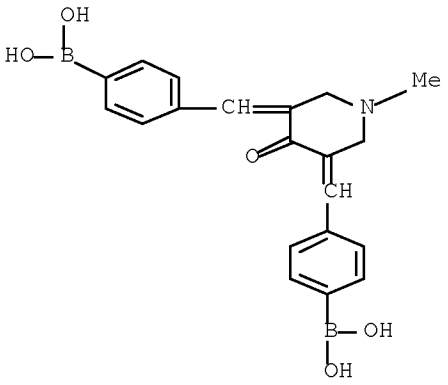


REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

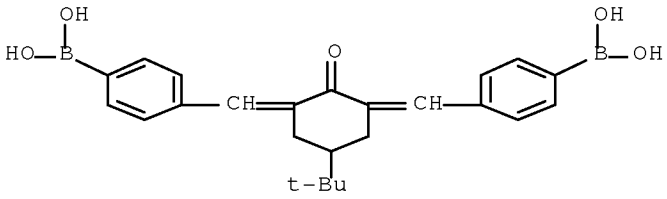
L28 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:658516 CAPLUS Full-text
DOCUMENT NUMBER: 145:262670
TITLE: A boronic-chalcone derivative exhibits potent anticancer activity through inhibition of the proteasome
AUTHOR(S): Achanta, Geetha; Modzelewska, Aneta; Feng, Li; Khan, Saeed R.; Huang, Peng
CORPORATE SOURCE: Department of Molecular Pathology, University of Texas MD Anderson Cancer Center, Houston, TX, USA
SOURCE: Molecular Pharmacology (2006), 70(1), 426-433
CODEN: MOPMA3; ISSN: 0026-895X
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Chalcones and their derivs. have been shown to have potent anticancer activity. However, the exact mechanisms of cytotoxic activity remain to be established. In this study, we have evaluated a series of boronic chalcones for their anticancer activity and mechanisms of action. Among the eight chalcone derivs. tested, 3,5-bis-(4-boronic acid-benzylidene)-1-methyl-piperidin-4-one (AM114) exhibited most potent growth inhibitory activity with IC50 values of 1.5 and 0.6 μM in 3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide assay and colony formation assay, resp. The cytotoxic activity of AM114 was shown to be associated with the accumulation of p53 and p21 proteins and induction of apoptosis. Mechanistic studies showed that AM114 treatment inhibited the chymotrypsin-like activity of the 20S proteasome in vitro, leading to a significant accumulation of ubiquitinated p53 and other cellular proteins in whole cells. In vitro studies showed that AM114 did not significantly disrupt the interaction of p53 and murine double minute 2 protein. It is noteworthy that AM114 as a single agent was preferentially toxic to cells with wild-type p53 expression, whereas combination of this compound with ionizing radiation (IR) significantly enhanced the cell-killing activity of IR in both wild-type p53 and p53-null cells. Together, these results indicate that the boronic chalcone derivative AM114 induces significant cytotoxic effect in cancer cells through the inhibition of the cellular proteasome and provide a rationale for the further development of this class of compds. as novel cancer chemotherapeutic agents.

IT 856849-35-9
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(boronic-chalcone derivative exhibits potent anticancer activity through inhibition of proteasome)
RN 856849-35-9 CAPLUS
CN Boronic acid, [(1-methyl-4-oxo-3,5-piperidinediylidene)bis(methylidyne-4,1-phenylene)]bis- (9CI) (CA INDEX NAME)



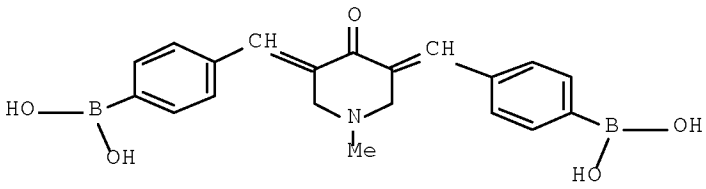
IT 856849-32-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(boronic-chalcone derivative exhibits potent anticancer activity through inhibition of proteasome)
RN 856849-32-6 CAPLUS
CN Boronic acid, [[5-(1,1-dimethylethyl)-2-oxo-1,3-cyclohexanediylidene]bis(methylidyne-4,1-phenylene)]bis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:315088 CAPLUS Full-text
DOCUMENT NUMBER: 145:290
TITLE: Anticancer activities of novel chalcone and bis-chalcone derivatives
AUTHOR(S): Modzelewska, Aneta; Pettit, Catherine; Achanta, Geetha; Davidson, Nancy E.; Huang, Peng; Khan, Saeed R.
CORPORATE SOURCE: Division of Chemical Therapeutics, Sidney Kimmel Comprehensive Cancer Center at Johns Hopkins, Baltimore, MD, 21231, USA
SOURCE: Bioorganic & Medicinal Chemistry (2006), 14(10), 3491-3495
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 145:290
GI



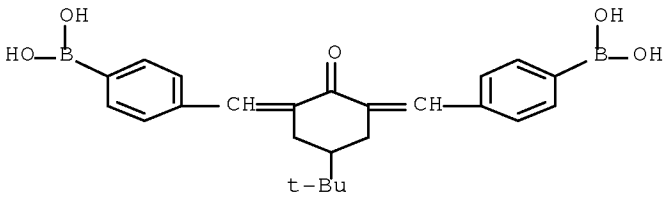
I

AB A series of novel chalcones and bis-chalcones containing boronic acid moieties has been synthesized and evaluated for antitumor activity against the human breast cancer MDA-MB-231 (estrogen receptor-neg.) and MCF7 (estrogen receptor-pos.) cell lines and against two normal breast epithelial cell lines, MCF-10A and MCF-12A. These mols. inhibited the growth of the human breast cancer cell lines at low micromolar to nanomolar concns., with five of them showing preferential inhibition of the human breast cancer cell lines. Furthermore, bis-chalcone I exhibited a more potent inhibition of colon cancer cells expressing wild-type p53 than of an isogenic cell line that was p53-null.

IT 856849-32-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(anticancer activities of chalcone and bis-chalcone derivs.)

RN 856849-32-6 CAPLUS

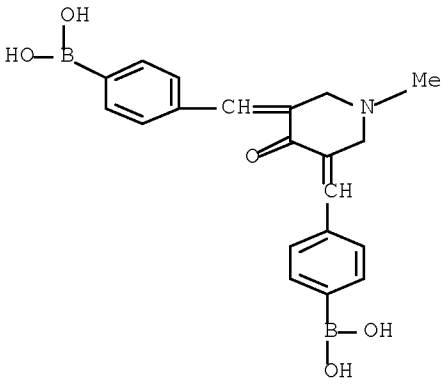
CN Boronic acid, [[5-(1,1-dimethylethyl)-2-oxo-1,3-cyclohexanediylidene]bis(methylidyne-4,1-phenylene)]bis- (9CI) (CA INDEX NAME)



IT 856849-35-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(anticancer activities of chalcone and bis-chalcone derivs.)

RN 856849-35-9 CAPLUS

CN Boronic acid, [(1-methyl-4-oxo-3,5-piperidinediylidene)bis(methylidyne-4,1-phenylene)]bis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:612309 CAPLUS Full-text
DOCUMENT NUMBER: 143:91012
TITLE: Boronic acid aryl analogs for the treatment of cancer
INVENTOR(S): Khan, Saeed R.
PATENT ASSIGNEE(S): Johns Hopkins University, USA
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

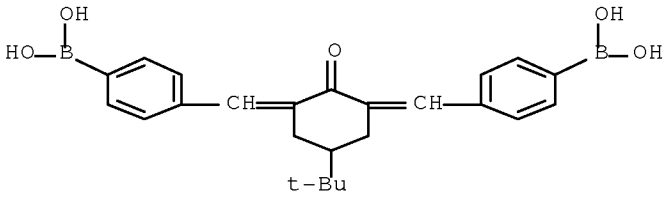
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005063774	A1	20050714	WO 2004-US43114		20041221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 20080171723	A1	20080717	US 2007-596751		20071018
PRIORITY APPLN. INFO.:			US 2003-531765P	P	20031222
			WO 2004-US43114	W	20041221

OTHER SOURCE(S): MARPAT 143:91012

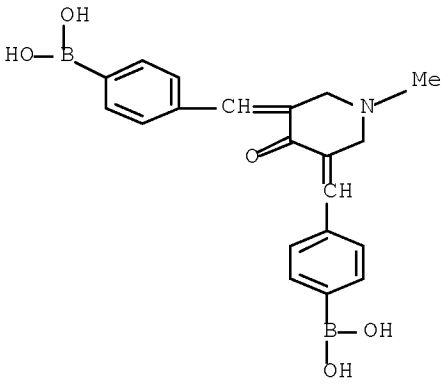
AB The invention discloses boronic acid aryl derivs. which are useful as antitumor/anticancer agents. The compds., which are inexpensive to synthesize, exhibit unexpectedly good inhibitors of the growth of human breast cancer cells. The invention also discloses the use of the boronic acid aryl derivs. to treat cancer. The invention also provides pharmaceutical compns. comprising the inhibitors of the invention and methods for using the inhibitors and pharmaceutical compns. in the treatment and prevention of cancer.

IT 856849-32-6 856849-35-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(boronic acid aryl derivs. for treatment of cancer)

RN 856849-32-6 CAPLUS
CN Boronic acid, [[5-(1,1-dimethylethyl)-2-oxo-1,3-cyclohexanediylidene]bis(methylidyne-4,1-phenylene)]bis- (9CI) (CA INDEX NAME)



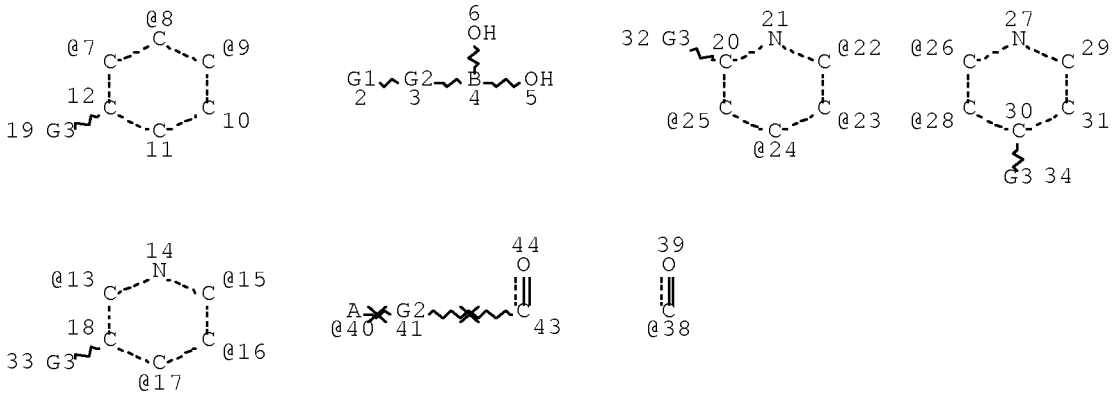
RN 856849-35-9 CAPLUS
CN Boronic acid, [(1-methyl-4-oxo-3,5-piperidinediylidene)bis(methylidyne-4,1-phenylene)]bis- (9CI) (CA INDEX NAME)



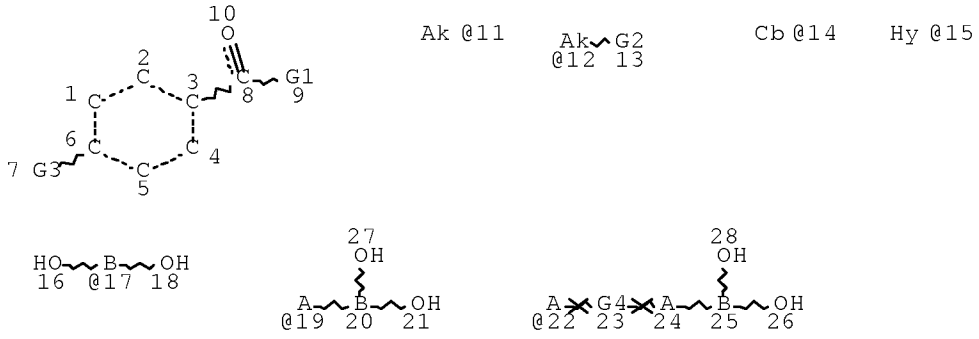
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Proviso Cmpds:

```
=> d que l26
L1                STR
```



```
VAR G1=7/8/9/25/24/23/22/26/28/13/15/16/17
REP G2=(0-6) A
VAR G3=40/38
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC   7  20  13  26
NUMBER OF NODES IS  39

STEREO ATTRIBUTES: NONE
L2          3407 SEA FILE=REGISTRY SSS FUL L1
L15               STR
```

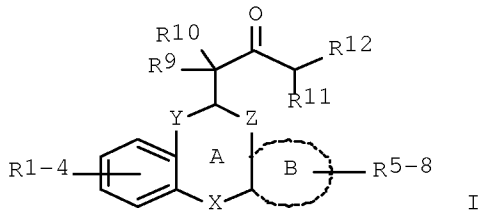



```
VAR G1=11/12
VAR G2=14/15
VAR G3=17/19/22
REP G4=(0-20) A
NODE ATTRIBUTES:
CONNECT IS E1  RC AT  11
CONNECT IS E2  RC AT  12
CONNECT IS E1  RC AT  14
```

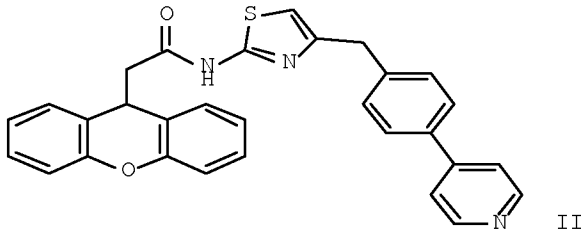
```
DEFAULT MLEVEL IS ATOM
GGCAT   IS UNS  AT  14
GGCAT   IS UNS  AT  15
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC   3
NUMBER OF NODES IS  28

STEREO ATTRIBUTES: NONE
L18          19 SEA FILE=REGISTRY SUB=L2 SSS FUL L15
L24           1 SEA FILE=REGISTRY SPE=ON  ABB=ON  PLU=ON  149104-90-5
L25          18 SEA FILE=REGISTRY SPE=ON  ABB=ON  PLU=ON  L18 NOT L24
L26          21 SEA FILE=CAPLUS SPE=ON  ABB=ON  PLU=ON  L25


=> d l26 ibib abs hitstr tot

L26  ANSWER 1 OF 21  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2009:336377  CAPLUS  Full-text
DOCUMENT NUMBER:         150:306630
TITLE:                   Preparation of xanthenes, thioxanthenes and
                         benzopyranopyridines, and related analogs as
                         modulators of glucocorticoid receptor, ap-1, and/or
                         nf-kb activity and use thereof
INVENTOR(S):             Weinstein, David S.; Chen, Ping; Dhar, T. G. Murali;
                         Duan, Jingwu; Gong, Hua; Jiang, Bin; Yang, Bingwei
                         Vera; Doweyko, Arthur M.
PATENT ASSIGNEE(S):      Bristol-Myers Squibb Company, USA
SOURCE:                  U.S. Pat. Appl. Publ., 211pp.
                         CODEN: USXXCO
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  2
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     ----------------    ----   --------    --------------------   --------
     US 20090075995       A1    20090319    US 2007-835438         20070808
     AU 2007286221        A1    20080221    AU 2007-286221         20070809
     CA 2660318           A1    20080221    CA 2007-2660318        20070809
     WO 2008021926        A2    20080221    WO 2007-US75543        20070809
     WO 2008021926        A3    20080522
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,
             CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,
             GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
             KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
             MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
             PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
             GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
     EP 2049507           A2    20090422    EP 2007-800057         20070809
         R:  AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, HR
     NO 2009000564        A     20090319    NO 2009-564            20090205
     KR 2009038930        A     20090421    KR 2009-704788         20090306
```

PRIORITY APPLN. INFO.: US 2006-836496P P 20060809
US 2007-835438 A 20070808
WO 2007-US75543 W 20070809

GI



I



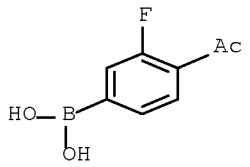
II

AB Novel non-steroidal compds. I [A = 5-8 membered carbocyclic or heterocyclic ring; B = cycloalkyl, cycloalkenyl, aryl, heterocyclo ring, and heteroaryl ring, wherein the B ring is fused to the A ring, and the B ring is optionally substituted with R5-8; X, Y, and Z independently = -A1QA2-; Q independently = bond, O, S, S(O), and S(O)2; A1 and A2 independently = bond, (un)substituted alkylene, alkenylene with provisions; R1-8 independently = H, halo, (un)substituted alkyl, etc.; R9 and R10 independently = H, halo, (un)substituted alkyl, alkenyl, alkynyl, etc.; R11 = H, alkoxy, aryl, (un)substituted alkyl, etc.; R12 = heterocyclo, heteroaryl and CN], and their pharmaceutically acceptable salts are prepared and disclosed as useful in treating diseases associated with modulation of the glucocorticoid receptor, AP-1, and/or NF-KB activity, including inflammatory and immune diseases. Thus, e.g., II was prepared by amidation of xanthen-9-ylacetic acid (preparation given) with 2-amino-5-(4-pyridin-4-ylbenzyl)thiazole (preparation given). Assays for determining ap-1 activity are described, e.g., II demonstrated an IC50 value of 156.9 nM. Also provided are pharmaceutical compns. and methods of treating inflammatory- or immune-associated diseases and obesity and diabetes employing said compds.

IT 481725-35-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of xanthenes and thioxanthenes and related analogs as modulators of glucocorticoid receptor, ap-1, and/or nf-kb activity and use thereof)

RN 481725-35-3 CAPLUS

CN Boronic acid, B-(4-acetyl-3-fluorophenyl)- (CA INDEX NAME)



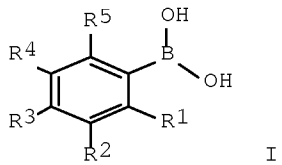
L26 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:292480 CAPLUS Full-text
DOCUMENT NUMBER: 150:306765
TITLE: Method for the organocatalytic activation of carboxylic acids for chemical reactions using ortho-substituted arylboronic acids
INVENTOR(S): Hall, Dennis; Marion, Olivier; Al-Zoubi, Raed
PATENT ASSIGNEE(S): The Governors of the University of Alberta, Can.
SOURCE: PCT Int. Appl., 34pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009030022	A1	20090312	WO 2008-CA1554	20080905

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2007-970083P P 20070905
OTHER SOURCE(S): CASREACT 150:306765; MARPAT 150:306765
GI



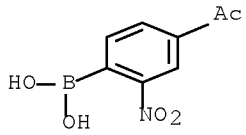
I

AB The present disclosure describes operationally simple methods for the low temperature, catalytic activation of carboxylic acids for organic reactions,

in particular for direct amidation reactions with amines. The methods involve the use of ortho-substituted arylboronic acids I (R1 = halo, C1-4 alkyl, C6-10 aryl, NO2, CN, CO2H, C(O)C1-4-alkyl, CO2C1-4-alkyl, OC1-4-alkyl, SC1-4-alkyl, OC6-10-aryl, S(O)C1-4-alkyl, SO2C1-4-alkyl, OCF3, etc.; R2-R5 = H, halo, C1-4-alkyl, C6-10-aryl, CO2H, C(O)C1-4-alkyl, CO2C1-4-alkyl, OC1-4-alkyl, SC1-4-alkyl, OC6-10-aryl, S(O)C1-4-alkyl, SO2C1-4-alkyl, etc.). In preferred embodiments R1 is halogen. The arylboronic acids catalyze nucleophilic 1,2-addition reactions, conjugate 1,4-addition reactions, and cycloaddn. reactions, including Diels-Alder reactions involving α,β- unsatd. carboxylic acids.

IT 1126895-86-0
RL: CAT (Catalyst use); USES (Uses)
(method for organocatalytic activation of carboxylic acids for chemical reactions using ortho-substituted arylboronic acids catalysts)

RN 1126895-86-0 CAPLUS
CN Boronic acid, B-(4-acetyl-2-nitrophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:68149 CAPLUS Full-text
DOCUMENT NUMBER: 150:214432
TITLE: On the organizing role of water molecules in the assembly of boronic acids and 4,4'-bipyridine: 1D, 2D and 3D hydrogen-bonded architectures containing cyclophane-type motifs
AUTHOR(S): Rodriguez-Cuamatzi, Patricia; Luna-Garcia, Rolando; Torres-Huerta, Aaron; Bernal-Uruchurtu, Margarita I.; Barba, Victor; Hopfl, Herbert
CORPORATE SOURCE: Universidad Politecnica de Tlaxcala, Tlaxcala, Mex.
SOURCE: Crystal Growth & Design (2009), 9(3), 1575-1583
CODEN: CGDEFU; ISSN: 1528-7483
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Boric acid H3BO3 (ba), mono- and diboronic acids 1,4-[(HO)2B]2C6H4 (1,4-bdba), 1,3-[(HO)2B]2C6H4 (1,3-bdba), 4-(HO)2BC6H4COMe (4-acpba), 3-(HO)2BC6H4NH2 (3-ampba) form hydrogen-bonded supramol. structures with 4,4'-bipyridine (bpy) and water in solid state. 4,4'-Bipyridine gave 1:1 adducts with H3BO3 and 1:2 adducts with arylboronic acids, which have been characterized by x-ray diffraction anal. The supramol. solid-state structures are composed of hydrogen-bonded networks with (B)O-H···N, (B)O-H···O, C-H···O, C-H···N, C-H···π, π···π and C-H···B interactions. The comparative anal. of the boric/boronic acid-4,4'-bipyridine adducts has revealed that water mols. play an important role as spacer mols. in RB(OH)2···py synthons, since their incorporation in the hydrogen-bonding patterns allows optimization of π-π interactions. The

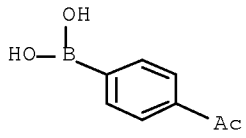
structural relationship between the dihydroxyboryl and the carboxyl group has been analyzed, showing that the former can form at least three different hydrogen-bonding patterns with pyridines. This can be attributed to the presence of two acidic hydrogen atoms in boronate group B(OH)2 instead of one in carboxy group CO2H. The three motifs have been examined also by ab initio calcns., confirming that for the three cases the (B)O-H···N interaction energies are similar.

IT 1113055-49-4P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and structure of hydrogen-bonded supramol. assemblies of boric,
arylboronic and aryldiboronic acids with 4,4'-bipyridine and water)

RN 1113055-49-4 CAPLUS
CN Boronic acid, B-(4-acetylphenyl)-, compd. with 4,4'-bipyridine, hydrate (1:2:1) (CA INDEX NAME)

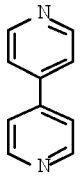
CM 1

CRN 149104-90-5
CMF C8 H9 B O3



CM 2

CRN 553-26-4
CMF C10 H8 N2



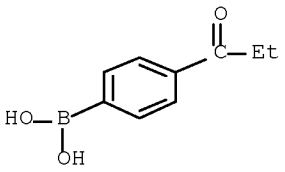
REFERENCE COUNT: 182 THERE ARE 182 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1001043 CAPLUS Full-text
DOCUMENT NUMBER: 149:524758
TITLE: Synthesis, biological evaluation, and molecular modeling studies of methylene imidazole substituted biaryls as inhibitors of human 17α-hydroxylase-17,20-lyase (CYP17)-Part II:

Core rigidification and influence of substituents at the methylene bridge
AUTHOR(S): Hu, Qingzhong; Negri, Matthias; Jahn-Hoffmann, Kerstin; Zhuang, Yan; Olgen, Sureyya; Bartels, Marc; Mueller-Vieira, Ursula; Lauterbach, Thomas; Hartmann, Rolf W.
CORPORATE SOURCE: Pharmaceutical and Medicinal Chemistry, Saarland University, Saarbruecken, D-66041, Germany
SOURCE: Bioorganic & Medicinal Chemistry (2008), 16(16), 7715-7727
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 149:524758

AB Thirty-five novel substituted imidazolyl methylene biphenyls have been synthesized as CYP17 inhibitors for the potential treatment of prostate cancer. Their activities have been tested with recombinant human CYP17 expressed in Escherichia coli. Promising compds. were tested for selectivity against CYP11B1, CYP11B2, and hepatic CYP enzymes 3A4, 1A2, 2B6 and 2D6. The core rigidified compds. (30-35) were the most active ones, being much more potent than Ketoconazole and reaching the activity of Abiraterone. However, they were not very selective. Another rather potent and more selective inhibitor (compound 23, IC50 = 345 nM) was further examined in rats regarding plasma testosterone levels and pharmacokinetic properties. Compared to the reference Abiraterone, 23 was more active in vivo, showed a longer plasma half-life (10 h) and a higher bioavailability. Using our CYP17 homol. protein model, docking studies with selected compds. were performed to study possible interactions between inhibitors and amino acid residues of the active site.

IT 186498-36-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(imidazolyl methylene biphenyls preparation as inhibitors of CYP17)
RN 186498-36-2 CAPLUS
CN Boronic acid, B-[4-(1-oxopropyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:640763 CAPLUS Full-text
DOCUMENT NUMBER: 149:10119
TITLE: Preparation of arylboronates as inhibitors of fatty acid amide hydrolase
INVENTOR(S): Adams, Julian; Behnke, Mark L.; Castro, Alfredo C.; Evans, Catherine A.; Grenier, Louis; Grogan, Michael J.; Liu, Tao; Snyder, Daniel A.; Tibbitts, Thomas T.
PATENT ASSIGNEE(S): Infinity Discovery, Inc., USA
SOURCE: PCT Int. Appl., 256pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008063300	A2	20080529	WO 2007-US21626	20071010
WO 2008063300	A3	20080717		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

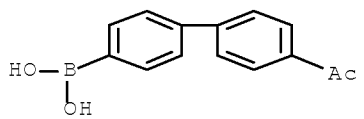
US 20090099131	A1	20090416	US 2007-870130	20071010
PRIORITY APPLN. INFO.:			US 2006-850520P P	20061010

OTHER SOURCE(S): MARPAT 149:10119

AB Z1Z2BL1A[(R1)n]XA1(R2)m [Z1 = OR; Z2 = OR, (substituted) aliphatyl, heteroaliphatyl, aryl, heteroaryl; Z1Z2 = atoms to form a 5-8 membered ring containing ≥1 O directly attached to B; L1 = bond, (substituted) alkylene, alkenylene; A = substituted saturated, partly unsatd. or aromatic (heteroatom-containing) mono-, bi-, or tricyclic ring system containing ≥1 F; X = bond, hydrocarbylene optionally interrupted by O, N:N, S, CO, SO, SO2, phenylene, etc.; A1 = (substituted) saturated, partly unsatd. or aromatic (heteroatom-containing) mono-, bi-, or tricyclic ring system; m, n = 0-10; R1, R2 = halo, OR, CF3, cyano, NO2, isocyano, SO2R, SOR, COR, CO2R, CHO, N3, B(OH)2, (substituted) aliphatyl, aryl, etc.; R = H, (substituted) aliphatyl, heteroaliphatyl, aryl, heteroaryl; with a proviso], were prepared as inhibitors of FAAH useful for treatment of pain and inflammation (no data). Thus, title compound 3,4'-difluorobiphen-4-ylboronic acid was prepared in 3 steps from 1,4-dibromo-2-fluorobenzene and 4-fluorobenzeneboronic acid.

IT 1029438-14-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylboronates as inhibitors of fatty acid amide hydrolase)

RN 1029438-14-9 CAPLUS
CN Boronic acid, B-(4'-acetyl[1,1'-biphenyl]-4-yl)- (CA INDEX NAME)

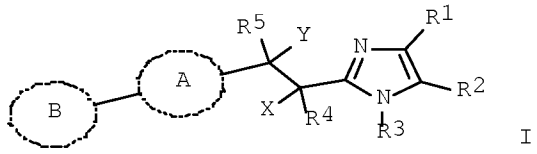


L26 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:530123 CAPLUS Full-text

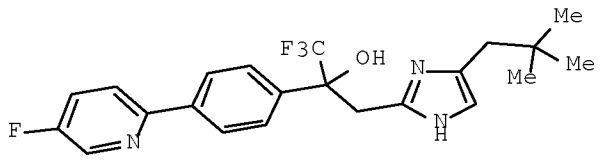
DOCUMENT NUMBER: 148:517720
TITLE: Preparation of substituted imidazolyl[(fluoropyridinyl)phenyl]ethanols and analogs as bombesin receptor subtype-3 modulators
INVENTOR(S): Chen, David; Franklin, Christopher L.; Guzzo, Peter R.; Lin, Linus S.; Lo, Michael M.-C.; Nargund, Ravi P.; Sebhat, Iyassu K.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 165pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008051406	A2	20080502	WO 2007-US22087	20071016
WO 2008051406	A3	20080724		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2007309570	A1	20080502	AU 2007-309570	20071016

PRIORITY APPLN. INFO.: US 2006-853193P P 20061020
WO 2007-US22087 W 20071016
OTHER SOURCE(S): MARPAT 148:517720
GI



I



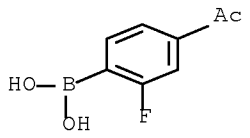
II

AB Title compds. I [ring A = (un)substituted aryl or heteroaryl; ring B = mono or bicyclic ring selected from (un)substituted cycloalkyl, cycloalkenyl,

heterocycloalkyl, heterocycloalkenyl, aryl, or heteroaryl; X = H, halo, SH, CF3, (un)substituted alkyl, alkenyl, (CH2)naryl, (CH2)nheteroaryl, etc.; Y = halo, OCF3, CN, SH, etc.; R1 and R2 independently = H, (un)substituted (CH2)nhalo, (CH2)nCN, (CH2)nCCl3, (CH2)ncycloalkyl, (CH2)naryl, etc., with provisions that R1 and R2 are not both H; R3 = H, alkyl, or C(O)alkyl; R4 and R5 independently = H, OH, CN, CF3, halo, (un)substituted alkyl, aryl, etc.; n = 0 to 4], and their pharmaceutically acceptable salts, are prepared and disclosed as bombesin receptor subtype-3 (BRS-3) modulators. Thus, II was prepared by coupling of intermediate 2-(4-bromophenyl)-3-[4-(2,2-dimethylpropyl)-1-trityl-1H- imidazol-2-yl]-1,1,1-trifluoropropan-2-ol (available from 2-(2,2-dimethylpropyl)-2-methyl-1-trityl-1H-imidazole and 4-bromoacetophenone) with bis(pinacolato)diboron followed by Suzuki coupling with 2-bromo-5-fluoropyridine and deprotection. I were evaluated in bombesin receptor subtype-3 binding assays, e.g., II demonstrated an IC50 value of 18 nM.

IT 1022154-78-4P
RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted imidazolyl[(fluoropyridinyl)phenyl]ethanols and analogs as bombesin receptor subtype-3 modulators)

RN 1022154-78-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



L26 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:224089 CAPLUS Full-text
DOCUMENT NUMBER: 148:285174
TITLE: Preparation of xanthenes, thioxanthenes and benzopyranopyridines, and related analogs as modulators of glucocorticoid receptor, ap-1, and/or nf-kb activity and use thereof
INVENTOR(S): Weinstein, David S.; Gong, Hua; Duan, Jingwu; Dhar, T.g. Murali; Yang, Bingwei Vera; Chen, Ping; Jiang, Bin
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 349 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

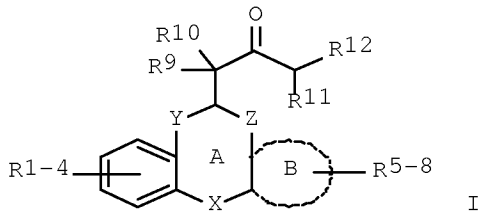
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008021926	A2	20080221	WO 2007-US75543	20070809
WO 2008021926	A3	20080522		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,

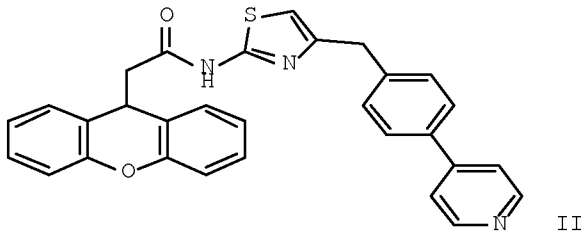
MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 20090075995	A1	20090319	US 2007-835438		20070808
AU 2007286221	A1	20080221	AU 2007-286221		20070809
CA 2660318	A1	20080221	CA 2007-2660318		20070809
EP 2049507	A2	20090422	EP 2007-800057		20070809
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, HR					
IN 2009DN00677	A	20090515	IN 2009-DN677		20090129
NO 2009000564	A	20090319	NO 2009-564		20090205
KR 2009038930	A	20090421	KR 2009-704788		20090306
PRIORITY APPLN. INFO.:			US 2006-836496P	P	20060809
			US 2007-835438	A	20070808
			WO 2007-US75543	W	20070809

OTHER SOURCE(S): MARPAT 148:285174
GI



I



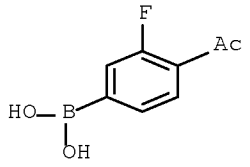
II

AB Novel non-steroidal compds. I [A = 5-8 membered carbocyclic or heterocyclic ring; B = cycloalkyl, cycloalkenyl, aryl, heterocyclo ring, and heteroaryl ring, wherein the B ring is fused to the A ring, and the B ring is optionally substituted with R5-8; X, Y, and Z independently = -A1QA2-; Q independently = bond, O, S, S(O), and S(O)2; A1 and A2 independently = bond, (un)substituted alkylene, alkenylene with provisions; R1-8 independently = H, halo, (un)substituted alkyl, etc.; R9 and R10 independently = H, halo, (un)substituted alkyl, alkenyl, alkynyl, etc.; R11 = H, alkoxy, aryl, (un)substituted alkyl, etc.; R12 = heterocyclo, heteroaryl and CN], and their pharmaceutically acceptable salts are prepared and disclosed as useful in treating diseases associated with modulation of the glucocorticoid receptor, AP-1, and/or NF-KB activity, including inflammatory and immune diseases. Thus, e.g., II was prepared by amidation of xanthen-9-ylacetic acid (preparation given) with 2-amino-5-(4-pyridin-4-ylbenzyl)thiazole (preparation

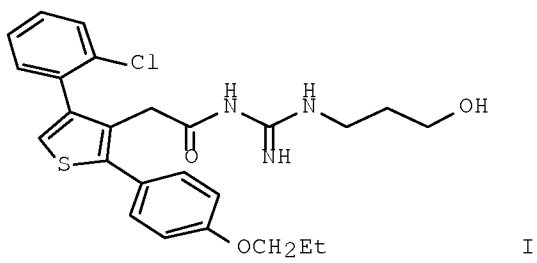
given). Assays for determining ap-1 activity are described, e.g., II demonstrated an IC50 value of 156.9 nM. Also provided are pharmaceutical compns. and methods of treating inflammatory- or immune-associated diseases and obesity and diabetes employing said compds.

IT 481725-35-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of xanthenes and thioxanthenes and related analogs as modulators of glucocorticoid receptor, ap-1, and/or nf-kb activity and use thereof)

RN 481725-35-3 CAPLUS
CN Boronic acid, B-(4-acetyl-3-fluorophenyl)- (CA INDEX NAME)



L26 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1050776 CAPLUS Full-text
DOCUMENT NUMBER: 147:534020
TITLE: Thiophene substituted acylguanidines as BACE1 inhibitors
AUTHOR(S): Fobare, William F.; Solvibile, William R.; Robichaud, Albert J.; Malamas, Michael S.; Manas, Eric; Turner, Jim; Hu, Yun; Wagner, Erik; Chopra, Rajiv; Cowling, Rebecca; Jin, Guixan; Bard, Jonathan
CORPORATE SOURCE: Chemical and Screening Sciences, CN8000, Wyeth Research, Princeton, NJ, 08543-8000, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(19), 5353-5356
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:534020
GI

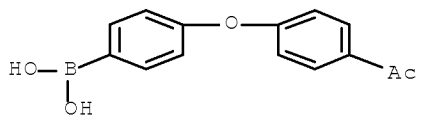


I

AB Thiopheneacetyl guanidines such as I are prepared as selective β-secretase (β-site amyloid precursor protein cleavage enzyme, BACE1) inhibitors for potential use as anti-Alzheimer's agents; the synthesis of the thiophenacetyl guanidines uses regioselective Suzuki coupling reactions of a dibromothiopheneacetate with arylboronic acids as the key steps. The use of a thiophene as the core heterocycle rather than the pyrrole of the initial lead compound allows greater structural variation in the tested compds. (because of the improved stability of dibromothiophenes over the corresponding 2,5-dibromopyrroles) and thus accelerates the acquisition of information on the binding of related compds. to BACE1. The structures of the lead compound and of one of the thiopheneacetyl guanidines bound to BACE1 are determined by X-ray crystallog. and used in the design of analogs. E.g., I (prepared in nine steps from 2,3,5-tribromo-4-methylthiophene, 2-chlorophenylboronic acid, 4-propoxyphenylboronic acid, and 3-aminopropanol) binds to BACE1 with an IC50 value of 150 nM; with 7-fold and 23-fold selectivities for BACE1 over BACE2 and cathepsin D, and with 16% inhibition of pepsin at a concentration of 100 μM.

IT 956894-00-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of diarylthiopheneacetyl guanidines as selective BACE1 inhibitors using the regioselective Suzuki coupling reactions of a dibromothiopheneacetate with arylboronic acids as key steps)

RN 956894-00-1 CAPLUS
CN Boronic acid, B-[4-(4-acetylphenoxy)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:873604 CAPLUS Full-text
DOCUMENT NUMBER: 147:257778
TITLE: Preparation of 1,2,5-thiadiazolidin-3-one 1,1-dioxides and related compounds containing imidazole moiety as PTPase (protein tyrosine phosphatase) inhibitors
INVENTOR(S): Mjalli, Adnan M. M.; Polisetti, Dharma R.; Quada, James C.; Yarragunta, Ravindra R.; Andrews, Robert C.; Xie, Rongyuan; Subramanian, Govindan
PATENT ASSIGNEE(S): Transtech Pharma, Inc., USA
SOURCE: PCT Int. Appl., 192pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007089857	A2	20070809	WO 2007-US2675	20070130
WO 2007089857	A3	20080626		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,
MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

AU 2007211319	A1	20070809	AU 2007-211319		20070130
CA 2637024	A1	20070809	CA 2007-2637024		20070130
US 20070191385	A1	20070816	US 2007-699780		20070130
EP 1991544	A2	20081119	EP 2007-763040		20070130
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS					
MX 2008008929	A	20080722	MX 2008-8929		20080710
IN 2008DN06050	A	20081024	IN 2008-DN6050		20080710
CN 101374835	A	20090225	CN 2007-80003942		20080730
KR 2008094806	A	20081024	KR 2008-721180		20080829
PRIORITY APPLN. INFO.:			US 2006-763256P	P	20060130
			WO 2007-US2675	W	20070130

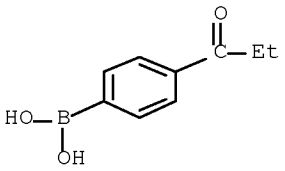
OTHER SOURCE(S): MARPAT 147:257778
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I-IV [Ar1, Ar2, Ar4, and Ar5 = Ph, indanyl, tetrahydronaphthyl, etc.; Ar3 = Ph, naphthalene, indanyl, etc.; V is C, W is C, X is N, Y is C, Z is N, when sides b, c and e are single bonds, and sides a and d are double bonds; or V is C, W is N, X is C, Y is N, Z is C, when sides a, c and d are single bonds, and sides b and e are double bonds; or V is C, W is N, X is C, Y is C, Z is N, when sides a, b and d are single bonds, and sides c and e are double bonds; L1 = -T1-L3-T2-; L3 = direct bond, alkylene, alkenylene, etc.; T1, T2 = direct bond, -CH2-, -O-, etc.; L2 = -C.tplbond.C-, -CO-, -O-, etc.; L4 = direct bond or -CH2-; R1-R5 = H or Rb; R6 = H or Rb; R11 = Rb; G = Q1, etc.; D is CR7R8, and E is CR7 or N, when side f is a double bond; or D is CR7, and E is C, when side f is a double bond; R7, R8 = halo, hydroxy, amino, etc.; M = H or a counter ion selected from Na+, K+ and other pharmaceutically acceptable counter ions; Rb = cycloalkyl, cyano, NO2, etc.; q = 1, 2; s = 0-3] or their pharmaceutically acceptable salts were prepared Thus, a multistep synthesis of compound V from 4-bromophenylacetic acid was given. In PTP-1B inhibition assays, 195 examples of compds. I exhibited IC50 values of less than 10 μM. Compds. I-IV are claimed useful for the treatment of diabetes, immune dysfunction, etc.

IT 186498-36-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 1,2,5-thiadiazolidin-3-one 1,1-dioxides and related compds. containing imidazole moiety as PTPase inhibitors)

RN 186498-36-2 CAPLUS
CN Boronic acid, B-[4-(1-oxopropyl)phenyl]- (CA INDEX NAME)



L26 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:763312 CAPLUS Full-text
DOCUMENT NUMBER: 147:166577
TITLE: Preparation of boron-containing small molecules and nucleosides for treating fungal infections
INVENTOR(S): Baker, Stephen J.; Akama, Tsutomu; Alley, Michael Richard Kevin; Benkovic, Stephen J.; Dipierro, Michael; Hernandez, Vincent S.; Hold, Karin M.; Kennedy, Isaac; Likhotvorik, Igor; Mao, Weimin; Maples, Kirk R.; Plattner, Jacob J.; Rock, Fernando; Sanders, Virginia; Stemphoski, Aaron M.; Yiannikouros, George Petros; Zegar, Siead; Zhang, Yong-Kang; Zhou, Huchen
PATENT ASSIGNEE(S): Anacor Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 380pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

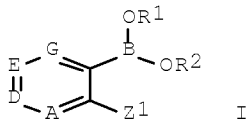
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007078340	A2	20070712	WO 2006-US32238	20060816
WO 2007078340	A3	20090430		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
WO 2006089067	A2	20060824	WO 2006-US5542	20060216
WO 2006089067	A3	20070719		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,				

CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 20060234981	A1	20061019	US 2006-357687	20060216
AU 2006333527	A1	20070712	AU 2006-333527	20060816
CA 2635680	A1	20070712	CA 2006-2635680	20060816
EP 1976536	A2	20081008	EP 2006-801794	20060816
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
MX 2008008417	A	20080710	MX 2008-8417	20080626
IN 2008MN01514	A	20081010	IN 2008-MN1514	20080717
KR 2008110984	A	20081222	KR 2008-718808	20080730
PRIORITY APPLN. INFO.:			US 2005-755227P P	20051230
			US 2006-357687 A	20060216
			WO 2006-US5542 A	20060216
			US 2006-746361P P	20060503
			US 2005-654060P P	20050216
			WO 2006-US32238 W	20060816

OTHER SOURCE(S): MARPAT 147:166577

GI



I

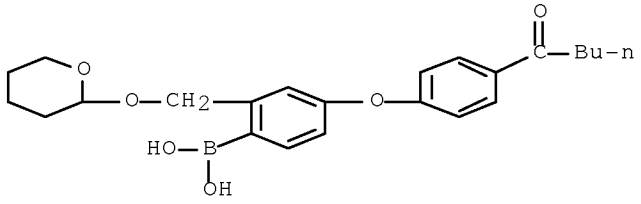
AB Boron-containing small mols. and nucleosides I were prepared, wherein R1 and R2 are members independently selected from H, alkyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl; wherein R1 and R2, together with the atoms to which they are attached, can be optionally joined to form a 4- to 7-membered ring; Z1 is CHO, substituted alkyl; A, D, E, and G are independently N, and CR, wherein R is OH, NH2, SH, alkoxy, aminoalkyl, substituted sulfonyl, substituted sulfoxy, substituted sulfonamide; two adjacent R groups form heterocylce; combination of nitrogens (A + D + E + G) is an integer selected from 0 to 3. This invention relates to compds. useful for treating fungal infections, more specifically topical treatment of onychomycosis and/or cutaneous fungal infections, wherein said infection is a member selected from chloronychia, paronychias, erysipeloid, onychorrhexis, gonorrhea, swimming-pool granuloma, larva migrans, leprosy, milkers' nodules, acute bacterial perionyxis, chronic perionyxis, sporotrichosis, syphilis, tuberculosis verrucosa cutis, tularemia, tungiasis, peri- and subungual warts, zona, nail dystrophy (trachyonychia), dermatol. diseases, psoriasis, pustular psoriasis, alopecia aerata, parakeratosis pustulosa, contact dermatosis, Reiter's syndrome, psoriasiform acral dermatitis, lichen planus, idiopathy atrophy in the nails, lichin nitidus, lichen striatus, inflammatory linear verrucous epidermal naevus, alopecia, pemphigus, bullous pemnphigoid, acquired epidermolysis bullosa, Darier's disease, pityriasis rubra pilaris, palmoplantar keratoderma, contact eczema, polymorphic erythema, scabies, Bazex syndrome, systemic scleroderma, systemic lupus erythematosus, chronic lupus erythematosus, dermatomyositus, Sporotrichosis, Mycotic keratitis, Extension oculomycosis, Endogenous oculomycosis, Lobomycosis, Mycetoma, Piedra, Pityriasis versicolor, Tinea corporis, Tinea cruris, Tinea pedis, Tinea barbae, Tinea capitis, Tinea nigra, Otomycosis, Tinea favosa, Chromomycosis, and Tinea Imbricata. This invention is directed to compds. that are active

against fungi and have properties that allow the compound, when placed in contact with a patient, to reach the particular part of the skin, nail, hair, claw or hoof infected by the fungus. In particular the present compds. have physiochem. properties that facilitate penetration of the nail plate. Thus, 1,3-dihydro-5-fluoro-1-hydroxy-2,1-benzoxaborole was prepared and tested as antifungal agent and had MIC values ranging from 0.25 - 2 μg/mL against all fungi tested.
1,3-Dihydro-5-fluoro-1-hydroxy-2,1-benzoxaborole had fungicidal activity against Trichophyton rubrum and Trichophyton mentagrophytes with MFC values of 8 and 16 μg/mL, resp.

IT 943311-80-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of boroncontaining small mols. and nucleosides for treating fungal infections)

RN 943311-80-6 CAPLUS

CN Boronic acid, B-[4-[4-(1-oxopentyl)phenoxy]-2-[[(tetrahydro-2H-pyran-2-yl)oxy]methyl]phenyl]- (CA INDEX NAME)



L26 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:733844 CAPLUS Full-text
DOCUMENT NUMBER: 147:158454
TITLE: Boron-containing small molecules which inhibit tRNA synthetase editing, their synthesis and use as antimicrobials
INVENTOR(S): Baker, Stephen J.; Akama, Tsutomu; Alley, Michael Richard Kevin; Benkovic, Steven J.; Dipierro, Michael; Hernandez, Vincent S.; Hold, Karin M.; Kennedy, Isaac; Likhotvorik, Igor; Mao, Weimin; Maples, Kirk R.; Plattner, Jacob J.; Rock, Fernando; Sanders, Virginia; Stemphoski, Aaron M.; Yiannikouros, George Petros; Zegar, Siead; Zhang, Yong-Kang; Zhou, Huchen
PATENT ASSIGNEE(S): Anacor Pharmaceuticals, USA
SOURCE: U.S. Pat. Appl. Publ., 265pp., Cont.-in-part of U.S. Ser. No. 357,687.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070155699	A1	20070705	US 2006-505591	20060816
WO 2006089067	A2	20060824	WO 2006-US5542	20060216
WO 2006089067	A3	20070719		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 20060234981	A1	20061019	US 2006-357687	20060216
PRIORITY APPLN. INFO.:			US 2005-755227P	P 20051230
			US 2006-357687	A2 20060216
			WO 2006-US5542	A 20060216
			US 2006-746361P	P 20060503
			US 2005-654060P	P 20050216

OTHER SOURCE(S): MARPAT 147:158454

AB Boron-containing small mols. which inhibit the editing activity of tRNA synthetases and which kill or inhibit growth of microorganisms are disclosed. Methods for their synthesis are also disclosed. This invention relates more specifically to compds. useful for treating fungal infections, especially topical treatment of onychomycosis and/or cutaneous fungal infections. This invention is directed to compds. that are active against fungi and have properties that allow the compound, when placed in contact with a patient, to reach the particular part of the skin, nail, hair, claw or hoof infected by the fungus. In particular the present compds. have physiochem. properties that facilitate penetration of the nail plate. The boron-containing small mols. include acyclic and cyclic boronic esters which can react with the 2' and/or 3'-hydroxyl of nucleosides.

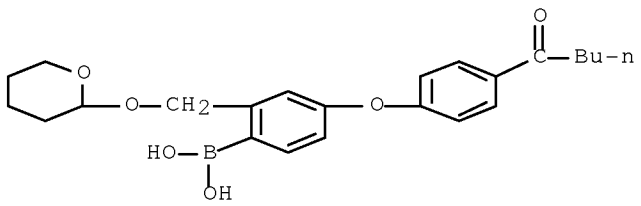
IT 943311-80-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(boron-containing small mols. which inhibit tRNA synthetase editing, their synthesis and use as antimicrobials)

RN 943311-80-6 CAPLUS

CN Boronic acid, B-[4-[4-(1-oxopentyl)phenoxy]-2-[[(tetrahydro-2H-pyran-2-yl)oxy]methyl]phenyl]- (CA INDEX NAME)



L26 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1093706 CAPLUS Full-text

DOCUMENT NUMBER: 145:438526

TITLE: Preparation of chromen-4-ones and their analogs as DNA-PK inhibitors

INVENTOR(S): Smith, Graeme Cameron Murray; Martin, Niall Morrison

Barr; Cockcroft, Xiao-Ling Fan; Menear, Keith Allan; Hummersone, Marc Geoffrey; Griffin, Roger John; Frigerio, Mark; Golding, Bernard Thomas; Hardcastle, Ian Robert; Newell, David Richard; Calvert, Hilary Alan; Curtin, Nicola Jane; Desage-El Murr, Marine

PATENT ASSIGNEE(S): Kudos Pharmaceuticals Limited, UK; Cancer Research Technology Limited

SOURCE: PCT Int. Appl., 84pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

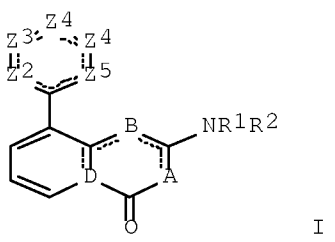
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

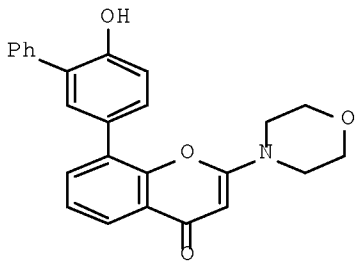
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2006109084	A1	20061019	WO 2006-GB1379		20060413
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
US 20060264427	A1	20061123	US 2006-403606		20060413
US 20060264623	A1	20061123	US 2006-403763		20060413
EP 1869040	A1	20071226	EP 2006-726777		20060413
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
JP 2008535903	T	20080904	JP 2008-505966		20060413
CN 101268072	A	20080917	CN 2006-80012557		20071015
PRIORITY APPLN. INFO.:			US 2005-671830P	P	20050415
			US 2005-671886P	P	20050415
			GB 2005-7831	A	20050418
			US 2005-696064P	P	20050701
			US 2005-718904P	P	20050920
			WO 2006-GB1379	W	20060413

OTHER SOURCE(S): MARPAT 145:438526

GI



I



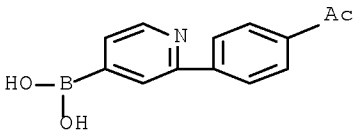
II

AB Title compds. represented by the formula I [wherein A, B and D are resp. selected from the group consisting of: (i) CH, NH, C; (ii) CH, N, N; and (iii) CH, O, C; the dotted lines represent two double bonds in the appropriate locations; and Z2-Z6 together with the carbon atom to which they are bound, form an aromatic ring; and their isomers, salts, solvates, chemical protected forms and prodrugs thereof] were prepared as DNA-PK (DNA-dependent protein kinase) inhibitors. For example, Suzuki-coupling reaction of 5-iodobiphenyl-2-ol with 2-morpholin-4-yl-8-(4,4,5,5-tetramethyl-[1,3,2]dioxaborolan-2-yl)chromen-4- one (preparation given) provide II in 83% yield. I showed activity in DNA-PK inhibition with IC50 values of less than about 500 nM. Thus, I and their pharmaceutical compns. are useful for the treatment of disease ameliorated by the inhibition of DNA-PK.

IT 912844-89-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of chromen-4-ones and their analogs as DNA-PK inhibitors)

RN 912844-89-4 CAPLUS

CN Boronic acid, B-[2-(4-acetylphenyl)-4-pyridinyl]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:821376 CAPLUS Full-text
DOCUMENT NUMBER: 145:249085
TITLE: Preparation of azolylacylguanidines as β-secretase inhibitors
INVENTOR(S): Cole, Derek Cecil; Manas, Eric Steven; Jennings, Lee Dalton; Lovering, Frank Eldridge; Stock, Joseph Raymond; Moore, William Jay; Ellingboe, John Watson; Condon, Jeffrey Scott; Sukhdeo, Mohani Nirmala; Zhou, Ping; Wu, Junjun; Morris, Koi Michele
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 58pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060183790	A1	20060817	US 2006-352820	20060213
US 7488832	B2	20090210		
AU 2006214627	A1	20060824	AU 2006-214627	20060206
CA 2597594	A1	20060824	CA 2006-2597594	20060206
WO 2006088711	A1	20060824	WO 2006-US4471	20060206

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

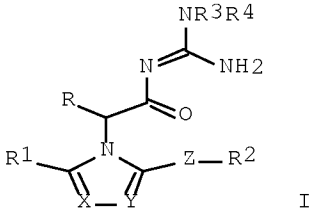
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

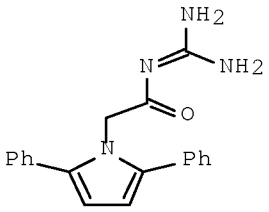
EP 1848692	A1	20071031	EP 2006-734596		20060206
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
JP 2008530103	T	20080807	JP 2007-555198		20060206
NO 2007004148	A	20071112	NO 2007-4148		20070810
IN 2007DN06325	A	20070831	IN 2007-DN6325		20070814
MX 2007009864	A	20070904	MX 2007-9864		20070814
KR 2007102751	A	20071019	KR 2007-720831		20070911
CN 101146769	A	20080319	CN 2006-80009029		20070920
US 20080287424	A1	20081120	US 2008-173303		20080715
PRIORITY APPLN. INFO.:			US 2005-652696P	P	20050214
			WO 2006-US4471	W	20060206
			US 2006-352820	A3	20060213

OTHER SOURCE(S): CASREACT 145:249085; MARPAT 145:249085

GI



I



II

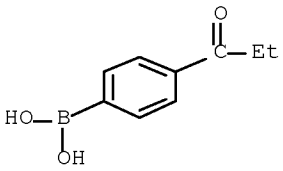
AB The title compds. I [X = N, CR5; Y = N, CR6; Z = CO, (CH2)n; n = 0-3; R = H, alkyl, aryl; R1, R2 = cycloalkyl, cycloheteroalkyl, aryl or heteroaryl; R3, R4 = H, alkyl, alkoxy, etc.; or NR3R4 = 5-7 membered ring optionally containing an addnl. heteroatom selected from O, N or S; R5, R6 = halo, alkyl, haloalkyl, alkoxy, haloalkoxy], useful for inhibiting β-secretase (BACE) and treating β-amyloid deposits and neurofibrillary tangles, were prepared E.g., a 2-step synthesis of N-(diaminomethylene)-2,4-diphenyl-1H-pyrrole-1-acetamide (II), starting from 1,4-diphenylbutane-1,4-dione and glycine, was given. Exemplified compds. I were tested for BACE-1 binding affinity (data given for representative compds. I). The pharmaceutical composition comprising the compound I is disclosed.

IT 186498-36-2

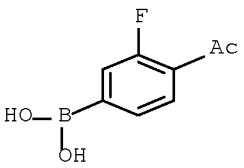
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of azolylacylguanidines as beta-secretase inhibitors)

RN 186498-36-2 CAPLUS

CN Boronic acid, B-[4-(1-oxopropyl)phenyl]- (CA INDEX NAME)



L26 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:663277 CAPLUS Full-text
DOCUMENT NUMBER: 146:461902
TITLE: Preparation of 4-(2-bromoacetyl)-3-fluorophenylboronic acid
AUTHOR(S): Jiang, Hui; Liu, Zaoxia; Zhang, Yongfei
CORPORATE SOURCE: Zhejiang Apeloa Kangyu Pharmaceutical Co., Ltd., Dongyang, Zhejiang Province, 322118, Peop. Rep. China
SOURCE: Zhongguo Yiyao Gongye Zazhi (2005), 36(9), 533-534
CODEN: ZYGZEA; ISSN: 1001-8255
PUBLISHER: Zhongguo Yiyao Gongye Zazhi Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 146:461902
AB 4-(2-Bromoacetyl)-3-fluorophenylboronic acid was synthesized from 4-bromo-2-fluorobenzonitrile by Grignard reaction, protection of carbonyl with ethanediol, Grignard reaction again and substitution by boron group to give 4-acetyl-3-fluorophenylboric acid followed by bromination with an overall yield of 54%.
IT 481725-35-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 4-(2-bromoacetyl)-3-fluorophenylboronic acid)
RN 481725-35-3 CAPLUS
CN Boronic acid, B-(4-acetyl-3-fluorophenyl)- (CA INDEX NAME)



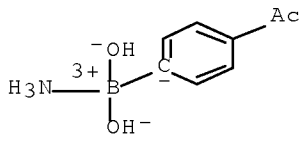
L26 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:557965 CAPLUS Full-text
DOCUMENT NUMBER: 145:230667
TITLE: Effect of Para-Substituents and Solvent Polarity on the Formation of Triphenylboroxine·Amine Adducts
AUTHOR(S): Kua, Jeremy; Fletcher, Matthew N.; Iovine, Peter M.
CORPORATE SOURCE: Department of Chemistry, University of San Diego, San Diego, CA, 92110, USA
SOURCE: Journal of Physical Chemistry A (2006), 110(26),

8158-8166
CODEN: JPCAFH; ISSN: 1089-5639
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB D. functional theory (B3LYP//6-311+G*) calcns. including Poisson-Boltzmann implicit solvent and NMR were used to study the formation of a series of para-substituted triphenylboroxine·amine adducts with respect to their phenylboronic acid monomers and free amine in solution Authors calcns. suggest that the intermediate prior to forming trimer·amine is a dimer·amine adduct. Formation of dimer·amine can proceed via two pathways. Electron-donating substituents favor dimerization of two monomers before addition of the amine, and electron-withdrawing substituents favor formation of a monomer·amine adduct before addition of the second monomer. Also found that π-electron acceptors destabilize formation of the dimer and trimer with respect to its monomers. Electron-withdrawing substituents favor adduct formation. Adduct formation is enthalpically stabilized by increasing the polarity of the solvent but differential solubility of the monomer compared to trimer·amine also has an effect on the equilibrium constant

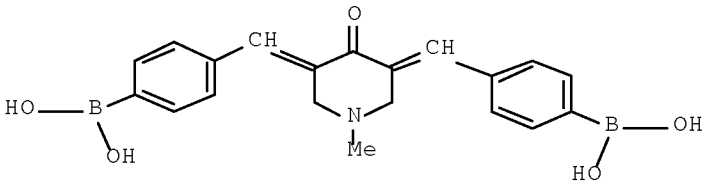
IT 905731-98-8
RL: FMU (Formation, unclassified); PRP (Properties); FORM (Formation, nonpreparative)
(B3LYP DFT study of effect of para-substituents and solvent polarity on formation of triphenylboroxine amine adducts)

RN 905731-98-8 CAPLUS
CN Boron, (4-acetylphenyl)amminedihydroxy-, (T-4)- (CA INDEX NAME)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:315088 CAPLUS Full-text
DOCUMENT NUMBER: 145:290
TITLE: Anticancer activities of novel chalcone and bis-chalcone derivatives
AUTHOR(S): Modzelewska, Aneta; Pettit, Catherine; Achanta, Geetha; Davidson, Nancy E.; Huang, Peng; Khan, Saeed R.
CORPORATE SOURCE: Division of Chemical Therapeutics, Sidney Kimmel Comprehensive Cancer Center at Johns Hopkins, Baltimore, MD, 21231, USA
SOURCE: Bioorganic & Medicinal Chemistry (2006), 14(10), 3491-3495
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:290
GI

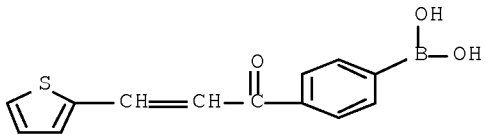


I

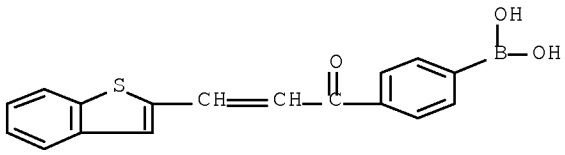
AB A series of novel chalcones and bis-chalcones containing boronic acid moieties has been synthesized and evaluated for antitumor activity against the human breast cancer MDA-MB-231 (estrogen receptor-neg.) and MCF7 (estrogen receptor-pos.) cell lines and against two normal breast epithelial cell lines, MCF-10A and MCF-12A. These mols. inhibited the growth of the human breast cancer cell lines at low micromolar to nanomolar concns., with five of them showing preferential inhibition of the human breast cancer cell lines. Furthermore, bis-chalcone I exhibited a more potent inhibition of colon cancer cells expressing wild-type p53 than of an isogenic cell line that was p53-null.

IT 888203-69-8P 888203-70-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(anticancer activities of chalcone and bis-chalcone derivs.)

RN 888203-69-8 CAPLUS
CN Boronic acid, B-[4-[1-oxo-3-(2-thienyl)-2-propen-1-yl]phenyl]- (CA INDEX NAME)



RN 888203-70-1 CAPLUS
CN Boronic acid, B-[4-(3-benzo[b]thien-2-yl-1-oxo-2-propen-1-yl)phenyl]- (CA INDEX NAME)



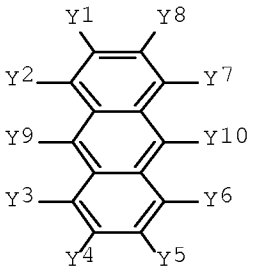
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

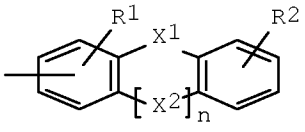
L26 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1198318 CAPLUS Full-text
DOCUMENT NUMBER: 143:449140
TITLE: Anthracenes, and their organic electroluminescent devices showing long service life and good durability
INVENTOR(S): Inoue, Koji; Aoki, Yoji; Kagayama, Akifumi; Tamatani, Hiroaki; Totani, Yoshiyuki
PATENT ASSIGNEE(S): Mitsui Chemicals Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 72 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005314239	A	20051110	JP 2004-131405	20040427

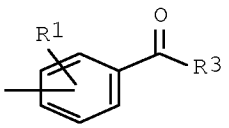
PRIORITY APPLN. INFO.: JP 2004-131405 20040427
OTHER SOURCE(S): MARPAT 143:449140
GI



I



II

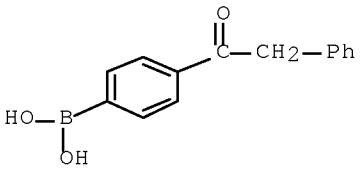


III

AB The anthracenes are I (Y1-Y10 = H, halo, CN, NO2, etc.; ≥1 of Y1-Y10 = II or III; R1, R2 = H, halo, CN, NO2, etc.; R3 = CN, amino, ester, alkylcarbonyl, etc.; X1, X2 = O, S; n = 0, 1). Thus, I (all Y1-Y8 = H, Y9 = Ph, Y10 = 1-dibenzofuranyl) was manufactured and used for an emitter layer for a blue-emitting organic electroluminescent device.

IT 868380-15-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(anthracenes for organic electroluminescent devices showing long service life and good durability)

RN 868380-15-8 CAPLUS
CN Boronic acid, B-[4-(2-phenylacetyl)phenyl]- (CA INDEX NAME)



L26 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:456229 CAPLUS Full-text
DOCUMENT NUMBER: 139:41804
TITLE: Pharmaceutical compositions containing vitamin D analogues
INVENTOR(S): Bernardon, Jean Michel; Biadatti, Thibaud
PATENT ASSIGNEE(S): Galderma Research & Development, Fr.
SOURCE: Fr. Demande, 55 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2833258	A1	20030613	FR 2001-15924	20011210
FR 2833258	B1	20040827		
CA 2468892	A1	20030619	CA 2002-2468892	20021206
WO 2003050067	A2	20030619	WO 2002-FR4216	20021206
WO 2003050067	A3	20040304		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002366578	A1	20030623	AU 2002-366578	20021206
AU 2002366578	B2	20080508		
EP 1456160	A2	20040915	EP 2002-804598	20021206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002015124	A	20041103	BR 2002-15124	20021206
JP 2005511731	T	20050428	JP 2003-551095	20021206
CN 1620414	A	20050525	CN 2002-827953	20021206
CN 100376530	C	20080326		
RU 2301794	C2	20070627	RU 2004-121174	20021206
US 20030195259	A1	20031016	US 2002-315121	20021210
US 6924400	B2	20050802		
ZA 2004003845	A	20050104	ZA 2004-3845	20040519
MX 2004005552	A	20040910	MX 2004-5552	20040608
IN 2004DN01969	A	20070525	IN 2004-DN1969	20040708
PRIORITY APPLN. INFO.:			FR 2001-15924 A	20011210
			US 2002-351433P P	20020128

WO 2002-FR4216 W 20021206

OTHER SOURCE(S): MARPAT 139:41804

AB Preparation of tri-aromatic analogs of vitamin D (Markush structures given) are disclosed for use in pharmaceutical, veterinary, or cosmetic compns. Thus, {5-[6,2'-diethyl-4'-(1-ethyl-1-hydroxypropyl)biphenyl-3-yloxymethyl]- 2-hydroxymethylphenyl}methanol (I) was prepared by the reaction of 1-5'-(3,4-bis-hydroxymethyl-benzyloxy)-2,2'-diethylbiphenyl-4-ylpropan-1- one with Et magnesium bromide and purification of I over silica (m.p. 93°). Cell differentiation activity of I was studied on HL60 cells. A tablet contained I 0.005, pregelatinized starch 0.065, microcryst. cellulose 0.075, lactose 0.050, and magnesium stearate 0.005 g.

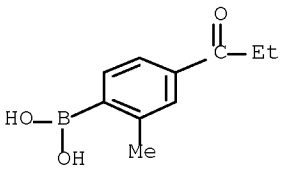
IT 540495-55-4P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pharmaceutical compns. containing vitamin D analogs)

RN 540495-55-4 CAPLUS

CN Boronic acid, [2-methyl-4-(1-oxopropyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:22884 CAPLUS Full-text

DOCUMENT NUMBER: 138:90649

TITLE: Aryl boronate functionalized polymers for treating obesity and inhibiting fat uptake

INVENTOR(S): Holmes-Farley, Stephen Randall; Mandeville, W. Harry, III; Dhal, Pradeep K.; Huval, Chad Cori; Li, Xinhua; Polomoscanik, Steven C.

PATENT ASSIGNEE(S): Geltex Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003002571	A1	20030109	WO 2002-US20947	20020701

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 20030059399	A1	20030327	US 2002-187316	20020627
US 7041280	B2	20060509		
CA 2487857	A1	20030109	CA 2002-2487857	20020701
AU 2002318470	A1	20030303	AU 2002-318470	20020701
AU 2002318470	B2	20050908		
EP 1404686	A1	20040407	EP 2002-748036	20020701
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2004534887	T	20041118	JP 2003-508952	20020701
US 20060128663	A1	20060615	US 2006-342129	20060127
US 20060127353	A1	20060615	US 2006-349357	20060206

PRIORITY APPLN. INFO.:

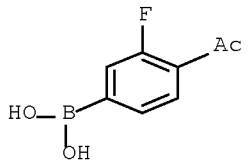
US 2001-302221P	P	20010629
US 2002-359473P	P	20020222
US 2001-302081P	P	20010629
US 2002-359467P	P	20020222
US 2002-359474P	P	20020222
US 2002-187315	A1	20020627
US 2002-187316	A1	20020627
WO 2002-US20947	W	20020701

AB Polymers comprise ≥1 Ph boronate ester, boronamide or boronate thioester groups. The Ph boronate ester, boronamide and boronate thioester groups are represented by structural formulas -ZB(Ar)Z- or HOB(Ar)Z- where Ar is substituted or unsubstituted; and each Z is O, NH or S. Pharmaceutically acceptable salts of the polymer are also included. The aryl boronate ester, boronamide or boronate thioester can be cleaved to release the corresponding aryl boronic acid. Pharmaceutical compns. comprise the polymers and a pharmaceutically acceptable carrier or diluent; for treating obesity. The 4-(14'-trimethylammonium 3'-thia-1'-ketotetradecyl)-3-fluorophenylboronic acid bromide salt of poly(N-diethanolaminopropyl)acrylamide showed in vitro lipase assay IC50 5.2 mg/g fat.

IT 481725-35-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(precursors and aryl boronate-functionalized polymers for treating obesity)

RN 481725-35-3 CAPLUS

CN Boronic acid, B-(4-acetyl-3-fluorophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:22883 CAPLUS Full-text

DOCUMENT NUMBER: 138:73376

TITLE: Preparation of aryl boronic acids for treating obesity

INVENTOR(S): Holmes-Farley, Stephen Randall; Mandeville, W. Harry, III; Huval, Chad Cori; Li, Xinhua; Dhal, Pradeep K.

PATENT ASSIGNEE(S): Geltex Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003002570	A1	20030109	WO 2002-US20923	20020701
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20030064963	A1	20030403	US 2002-187397	20020627
US 6858592	B2	20050222		
CA 2489681	A1	20030109	CA 2002-2489681	20020701
AU 2002316499	A1	20030303	AU 2002-316499	20020701
AU 2002316499	B2	20050804		
EP 1404685	A1	20040407	EP 2002-746808	20020701
EP 1404685	B1	20060913		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2004535452	T	20041125	JP 2003-508951	20020701
AT 339426	T	20061015	AT 2002-746808	20020701
ES 2275888	T3	20070616	ES 2002-746808	20020701
HK 1065046	A1	20061117	HK 2004-107699	20041007
US 20050107336	A1	20050519	US 2004-27643	20041230
US 7049304	B2	20060523		
AU 2005220192	A1	20051201	AU 2005-220192	20051005
US 20060128664	A1	20060615	US 2006-343598	20060131
US 7456156	B2	20081125		
US 20060127353	A1	20060615	US 2006-349357	20060206

PRIORITY APPLN. INFO.:	US 2001-302081P	P	20010629
	US 2002-359467P	P	20020222
	US 2001-302221P	P	20010629
	US 2002-359473P	P	20020222
	US 2002-359474P	P	20020222
	US 2002-187315	A1	20020627
	US 2002-187397	A1	20020627
	WO 2002-US20923	W	20020701
	US 2004-27643	A1	20041230

OTHER SOURCE(S): MARPAT 138:73376
GI

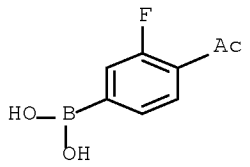
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Aryl boronic acids [e.g., I; wherein Ph ring A is substituted or unsubstituted; R = (substituted) straight chained hydrocarbyl group optionally comprising one or more ether, thioether, phenylene, amine, or ammonium linking

groups; Y = amine, ammonium group] were prepared For example, 4-(14'-trimethylammonium-3'-thia-1'-ketotetradecyl)-3- fluorophenylboronic acid chloride [(II)Cl-] was prepared in six steps from 4-cyano-3-fluorophenyl bromide. The prepared compds. are useful for treating obesity, and inhibiting the uptake of fat in the gastrointestinal tract. For example, (II)Br- showed good inhibition of in vitro [IC50 (μg/g fat) = 1.8] and in vivo [ED50 (mg/g fat) = 2] pancreatic lipolysis.

IT 481725-35-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aryl boronic acids for treating obesity)

RN 481725-35-3 CAPLUS
CN Boronic acid, B-(4-acetyl-3-fluorophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:132770 CAPLUS Full-text
DOCUMENT NUMBER: 126:144291
ORIGINAL REFERENCE NO.: 126:27885a,27888a
TITLE: N-pyrazinyl-2-phenyl-3-pyridinesulfonamides and analogs endothelin receptor antagonists
INVENTOR(S): Bradbury, Robert Hugh; Butlin, Roger John; James, Roger
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640681	A1	19961219	WO 1996-GB1295	19960603
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
CA 2219742	A1	19961219	CA 1996-2219742	19960603
CA 2219742	C	20070116		
AU 9658403	A	19961230	AU 1996-58403	19960603
AU 715041	B2	20000113		
EP 832082	A1	19980401	EP 1996-919941	19960603
EP 832082	B1	20011121		

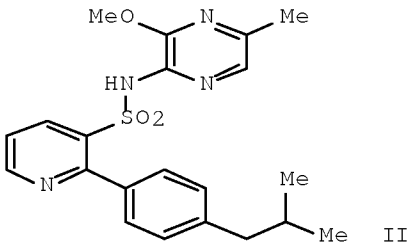
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI

CN 1192739	A	19980909	CN 1996-196149		19960603
CN 1097051	C	20021225			
BR 9608611	A	19990511	BR 1996-8611		19960603
JP 11509175	T	19990817	JP 1997-500209		19960603
JP 3193058	B2	20010730			
HU 9802300	A2	19991028	HU 1998-2300		19960603
HU 9802300	A3	20020228			
NZ 308619	A	20000128	NZ 1996-308619		19960603
RU 2172738	C2	20010827	RU 1998-100054		19960603
AT 209200	T	20011215	AT 1996-919941		19960603
SK 282338	B6	20020107	SK 1997-1680		19960603
CZ 289387	B6	20020116	CZ 1997-3887		19960603
IL 122464	A	20020523	IL 1996-122464		19960603
ES 2168487	T3	20020616	ES 1996-919941		19960603
PL 187897	B1	20041029	PL 1996-324660		19960603
ZA 9604615	A	19961209	ZA 1996-4615		19960604
US 5866568	A	19990202	US 1996-658969		19960604
IN 1996DE01209	A	20050311	IN 1996-DE1209		19960604
HR 9600272	B1	20060630	HR 1996-272		19960606
NO 9705700	A	19971205	NO 1997-5700		19971205
NO 314503	B1	20030331			
HK 1005801	A1	20021220	HK 1998-105010		19980606
US 6060475	A	20000509	US 1998-211483		19981214
US 6258817	B1	20010710	US 2000-504364		20000215
PRIORITY APPLN. INFO.:			GB 1995-11507	A	19950607
			GB 1995-19666	A	19950927
			WO 1996-GB1295	W	19960603
			US 1996-658969	A3	19960604
			US 1998-211483	A3	19981214

OTHER SOURCE(S): MARPAT 126:144291

GI

I



II

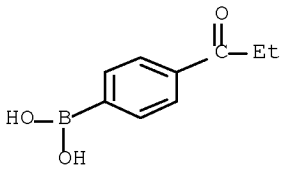
AB Title compds. [I; A = atoms to complete an (un)substituted pyridine ring; R = (un)substituted Ph; R1 = (un)substituted heteroarom. ring containing 2 N atoms] were prepared Thus, iso-Bu N-(3-methoxy-5-methyl-2-pyrazinyl)carbamate was amidated by 2-chloropyridine-3-sulfonyl chloride (preparation each given) and the product arylated by 4-(Me2CHCH2)C6H4B(OH)2 to give, after deprotection, title compound II. Data for biol activity of I were given.

IT 186498-36-2, 4-Propanoylphenylboronic acid
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of n-pyrazinyl-2-phenyl-3-pyridinesulfonamides and analogs endothelin receptor antagonists)

RN 186498-36-2 CAPLUS

CN Boronic acid, B-[4-(1-oxopropyl)phenyl]- (CA INDEX NAME)



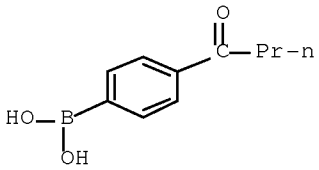
IT 186498-24-8P 186498-27-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of n-pyrazinyl-2-phenyl-3-pyridinesulfonamides and analogs endothelin receptor antagonists)

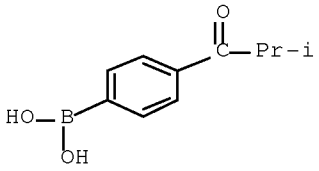
RN 186498-24-8 CAPLUS

CN Boronic acid, [4-(1-oxobutyl)phenyl]- (9CI) (CA INDEX NAME)



RN 186498-27-1 CAPLUS

CN Boronic acid, [4-(2-methyl-1-oxopropyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

632 References for one proviso cmpd. Sample of references:

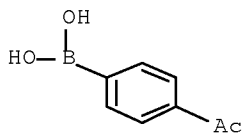
```
=> d que l27
L24           1 SEA FILE=REGISTRY SPE=ON  ABB=ON  PLU=ON  149104-90-5
L27         632 SEA FILE=CAPLUS SPE=ON  ABB=ON  PLU=ON  L24


=> d l27 1-3 630-632 ibib abs hitstr

L27  ANSWER 1 OF 632  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2009:556608  CAPLUS  Full-text
TITLE:                   Polycyclic indazole derivatives that are ERK
                         inhibitors and their preparation, pharmaceutical
                         compositions and use in the treatment of cancer
INVENTOR(S):             Cooper, Alan B.; Deng, Yongqi; Shipps, Gerald W., Jr.;
                         Shih, Neng-Yang; Zhu, Hugh Y.; Sun, Robert; Kelly,
                         Joseph M.; Doll, Ronald J.; Nan, Yang; Wang, Tong;
                         Desai, Jagdish A.; Wang, James J-S.; Dong, Youhao;
                         Madison, Vincent S.; Xiao, Li; Hruza, Alan W.;
                         Siddiqui, M. Arshad; Samatar, Ahmed A.; Paliwal,
                         Sunil; Tsui, Hon-Chung; Celebi, Azim Alan; Wu, Yiji;
                         Boga, Sobhana Babu; Alhassan, Abdul-Basit; Gao,
                         Xiaolei; Zhu, Liang; Patel, Mehul
PATENT ASSIGNEE(S):      USA
SOURCE:                  U.S. Pat. Appl. Publ., 473pp., Cont.-in-part of U.S.
                         Ser. No. 636,954.
                         CODEN: USXXCO
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  3
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     ---------------     ----   --------    --------------------   --------
     US 20090118284       A1    20090507    US 2007-810282         20070605
     US 20070191604       A1    20070816    US 2006-636954         20061211
     WO 2008153858        A1    20081218    WO 2008-US6979         20080604
         W:  AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
             CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES,
             FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
             KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
             ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
             PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM,
             TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
             IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
             TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.:                       US 2005-749856P     P  20051213
                                             US 2006-636954      A2 20061211
                                             US 2007-810282      A  20070605
GI



* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *
```

AB Disclosed are the ERK inhibitors of formula I and the pharmaceutically acceptable salts, esters and solvates thereof. Compds. of formula I wherein Q is (un)substituted piperidine or piperazine ring that can have a bridge or a fused ring; Y1, Y2, and Y3 are independently CH=, N=, etc.; n is 1 to 3; R1 is CN, NO2, OH and derivs., SH and derivs., acyl, etc.; R2 is H, CN, halo, (un)substituted alkyl, alkynyl, alkenyl, etc.; R8 is H, OH, NH2 and derivs., alkyl, and aminocarbonyl; each R35 is independently H and C1-6 alkyl; and their pharmaceutically acceptable salts thereof, are claimed. Also disclosed are methods of treating cancer using the compds. of formula I. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their ERK inhibitory activity. From the assay, it was determined that compound II exhibited IC50 value in the range of 0.16 - 18 nM.

IT 149104-90-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of polycyclic indazole derivs. as ERK inhibitors and their use in the treatment and prevention of cancer)

RN 149104-90-5 CAPLUS

CN Boronic acid, B-(4-acetylphenyl)- (CA INDEX NAME)



L27 ANSWER 2 OF 632 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:555535 CAPLUS Full-text

DOCUMENT NUMBER: 150:494893

TITLE: Preparation of heteroaryl ethers for treatment of oncological diseases

INVENTOR(S): Mansour, Tarek Suhayl; Wacharasindhu, Sumrit; Wan, Zhao-Kui; Bardhan, Sujata

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 131pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

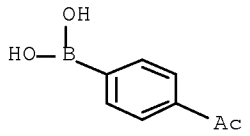
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009058937	A2	20090507	WO 2008-US81693	20081030

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

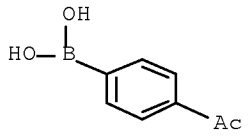
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,

AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.: US 2007-984477P P 20071101
AB The title heteroaryl ethers with general formula Ht-O-Ar [wherein Ht = a heterocycle selected from (un)substituted quinazoline, thieno[2,3-d]pyrimidine, pyrimidine, etc.; Ar = (un)substituted Ph, pyridine, isoxazole, etc.] were prepared for the treatment of oncol. diseases, including inflammation. For example, 4-(pyrimidin-5-yloxy)quinazoline was synthesized from 4-[(3H-[1,2,3]triazolo[4,5-b]pyridin-3-yl)oxy]thieno[2,3-d]pyrimidine and 3-cyanophenylboronic acid in presence of Cs2CO3 and Pd(PPh3)4 in DME, and purified by flash chromatog. as a white solid in 88 % yield. 4-(Pyrimidin-5-yloxy)quinazoline showed PI3-Kinase inhibitory activities against PI3Kα and PI3Kγ with inhibition rates of 33 % and 53 % at 30 μM, resp. 4-(Pyrimidin-5-yloxy)quinazoline also showed mTOR enzyme inhibitory activity with inhibition rate of 12 % at 10 μM.
IT 149104-90-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heteroaryl ethers for treatment of oncol. diseases)
RN 149104-90-5 CAPLUS
CN Boronic acid, B-(4-acetylphenyl)- (CA INDEX NAME)



L27 ANSWER 3 OF 632 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:507094 CAPLUS Full-text
TITLE: Homocoupling of Arylboronic Acids Catalyzed by 1,10-Phenanthroline-Ligated Copper Complexes in Air
AUTHOR(S): Kirai, Naohiro; Yamamoto, Yoshihiko
CORPORATE SOURCE: Department of Applied Chemistry, Graduate School of Science and Engineering, Tokyo Institute of Technology, O-okayama, Meguro-ku, Tokyo, 152-8552, Japan
SOURCE: European Journal of Organic Chemistry (2009), (12), 1864-1867, S1864/1-S1864/4
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The efficient homocoupling of arylboronic acids was achieved by using the catalytic combination of inexpensive copper salts and 1,10-phenanthroline as a ligand. The homocoupling reaction proceeds at ambient temperature in air without any additives such as base or oxidant. This method tolerates various substituents on the arylboronic acids such as halogens, carbonyls, and a nitro group. As a result, 25 sym. biaryls were obtained from readily available arylboronic acids in 19-92 % isolated yields. A binuclear (μ-hydroxido)copper complex is assumed as the catalytically active species, which undergoes efficient transmetalation with arylboronic acids to produce dinuclear arylcopper complexes. The binuclear structure is assumed to be essential for the bimetallic reductive elimination of biaryls as well as the oxidative restoration of the catalyst.

IT INDEXING IN PROGRESS
IT 149104-90-5, 4-Acetylphenylboronic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of sym. biaryls via copper-catalyzed transmetalation and homocoupling of arylboronic acids)
RN 149104-90-5 CAPLUS
CN Boronic acid, B-(4-acetylphenyl)- (CA INDEX NAME)

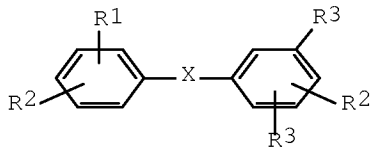


REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

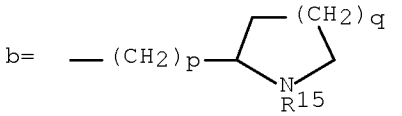
L27 ANSWER 630 OF 632 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:216801 CAPLUS Full-text
DOCUMENT NUMBER: 122:10068
ORIGINAL REFERENCE NO.: 122:2237a,2240a
TITLE: Preparation of heterocyclylethanone compounds as 5-HT1D antagonists.
INVENTOR(S): Scopes, David Ian Carter; Campbell, Ian Baxter
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Brit. UK Pat. Appl., 48 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

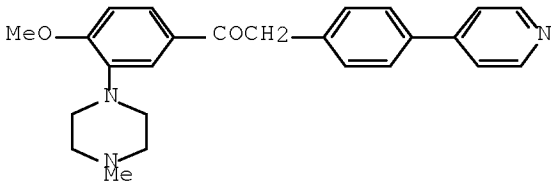
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2276160	A	19940921	GB 1993-5459	19930317

PRIORITY APPLN. INFO.: GB 1993-5459 19930317
OTHER SOURCE(S): MARPAT 122:10068
GI



I





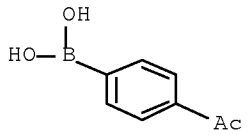
II

AB Title compds. I (R1 = H, halo, C1-6 alkyl, C1-6 alkoxy; R2 = (substituted)Ph, (substituted) C1-4 alkoxyxlkyl, (substituted) oxadiazolyl, (substituted)imidazolyl, (substituted)dioxolanyl, (substituted)thioxolanyl, (substituted)pyridinyl; R3 = R14R13N(CH2)n wherein R13, R14 = H, C1-6 alkyl, n = 2-4, b, c wherein p, q = 1-3, R15 = R13; X = COCH2, CH2CO) or a salt thereof, 5-HT1D antagonists useful in treatment of CNS disorders, endocrine disorders and sexual dysfunction (no data), are prepared 2-(4-Bromophenyl)-1-[4-methoxy-3-(4-methyl-1- piperazinyl)phenyl]-1-ethanone (preparation given), 4-pyridinylboronic acid, Pd(Ph3P)4, DME and aqueous Na2CO3 were refluxed to give II.

IT 149104-90-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclylethanone compds. as 5-HT1D antagonists)

RN 149104-90-5 CAPLUS

CN Boronic acid, B-(4-acetylphenyl)- (CA INDEX NAME)



L27 ANSWER 631 OF 632 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:700771 CAPLUS Full-text

DOCUMENT NUMBER: 121:300771

ORIGINAL REFERENCE NO.: 121:55057a,55060a

TITLE: Preparation of piperidinyl anilines and -benzanilides

INVENTOR(S): Oxford, Alexander William; Clitherow, John Watson

PATENT ASSIGNEE(S): Glaxo Group Ltd., UK

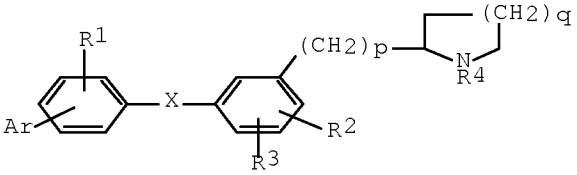
SOURCE: Brit. UK Pat. Appl., 42 pp.
CODEN: BAXXDU

DOCUMENT TYPE: Patent

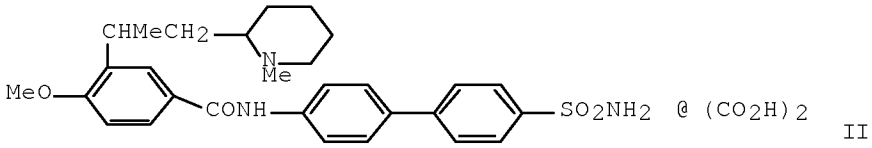
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2276162	A	19940921	GB 1993-5469	19930317

PRIORITY APPLN. INFO.: GB 1993-5469 19930317
OTHER SOURCE(S): MARPAT 121:300771
GI



I

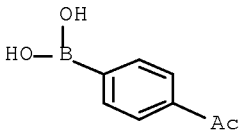


II

AB Title compds. I (R1 = H, halo, C1-6 alkyl, C1-6 alkoxy; R2, R3 = H, halo, HO, C1-6 alkoxy, C1-6 alkyl; R4 = H, C1-6 alkyl; Ar = (substituted) Ph, oxadiazolyl, imidazolylmethyl, dioxolanyl, thioxolanyl, (substituted)pyridinyl; X = CONH, NHCO, NHCH2, CH2NH; p, q = 1-3) or a salt or solvate thereof, 5-HT1D antagonists useful in treatment of CNS or endocrine disorders and sexual dysfunction (no data), are prepared 4-Methoxy-3-[2-(1-methyl-2-piperidinyl)ethyl]benzoic acid, HI (preparation given) in pyridine was reacted with 4'-amino-[1,1'-biphenyl]-4-sulfonamide to give the free base with was treated with oxalic acid to give the title compound II.

IT 149104-90-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidinyl anilines and -benzanilides as 5-HT1D antagonists)

RN 149104-90-5 CAPLUS
CN Boronic acid, B-(4-acetylphenyl)- (CA INDEX NAME)

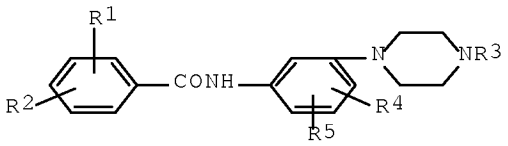


L27 ANSWER 632 OF 632 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:539268 CAPLUS Full-text
DOCUMENT NUMBER: 119:139268

ORIGINAL REFERENCE NO.: 119:24983a,24986a
TITLE: Preparation of piperazinylbenzanilide derivatives as 5-HT1D antagonists
INVENTOR(S): Oxford, Alexander William; Mitchell, William Leonard; Bradshaw, John; Clitherow, John Watson; Baxter, Ian Campbell
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Eur. Pat. Appl., 43 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

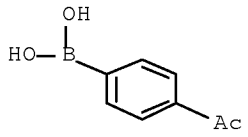
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 533266	A1	19930324	EP 1992-202804	19920914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CA 2078506	A1	19930319	CA 1992-2078506	19920917
NO 9203617	A	19930319	NO 1992-3617	19920917
AU 9224529	A	19930325	AU 1992-24529	19920917
CN 1071922	A	19930512	CN 1992-111662	19920917
ZA 9207107	A	19930908	ZA 1992-7107	19920917
JP 06107649	A	19940419	JP 1992-273659	19920917
US 5356893	A	19941018	US 1992-945878	19920917
HU 66319	A2	19941128	HU 1992-2969	19920917

PRIORITY APPLN. INFO.: GB 1991-19920 A 19910918
OTHER SOURCE(S): MARPAT 119:139268
GI



I

AB Title compds. [I; R1 = H, halo, alkyl, alkoxy; R2 = (substituted) Ph; R3 = H, alkyl; R4, R5 = H, halo, OH, alkoxy, alkyl], were prepared as 5-HT1D antagonists (no data). Thus, 4-(tert-butyldimethylsiloxy)phenylboronic acid, bimol. anhydride (preparation given) and 4-bromo-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]benzamide (preparation given) were refluxed with (Ph3P)4Pd and Na2CO3 in 1,2-dimethoxyethane to give 4'-hydroxy-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-1-(1,1'- biphenyl)-4-carboxamide.
IT 149104-90-5P, 4-Acetylphenylboronic acid
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for piperazinylbenzanilide 5-HT1D antagonist)
RN 149104-90-5 CAPLUS
CN Boronic acid, B-(4-acetylphenyl)- (CA INDEX NAME)




```
=> d his ful

     (FILE 'HOME' ENTERED AT 10:23:13 ON 02 JUN 2009)

     FILE 'REGISTRY' ENTERED AT 10:23:22 ON 02 JUN 2009
                ACT KRISH751/A
               ---------
L1              STR
L2         3407 SEA SSS FUL L1
               ---------
                ACT NIZAL751A/A
               ---------
L3              STR
L4  (      3407)SEA SSS FUL L3
L5              STR
L6  (      2289)SEA SUB=L4 SSS FUL L5
L7              STR
L8            3 SEA SUB=L6 SSS FUL L7
               ---------
                ACT NIZAL751B/A
               ---------
L9              STR
L10 (      3407)SEA SSS FUL L9
L11             STR
L12 (      2289)SEA SUB=L10 SSS FUL L11
L13             STR
L14           0 SEA SUB=L12 SSS FUL L13
               ---------
L15             STR
L16           2 SEA SSS SAM L15
L17           2 SEA SUB=L2 SSS SAM L15
L18          19 SEA SUB=L2 SSS FUL L15

     FILE 'CAPLUS' ENTERED AT 10:38:19 ON 02 JUN 2009
L19         643 SEA SPE=ON  ABB=ON  PLU=ON  L18

     FILE 'REGISTRY' ENTERED AT 10:38:30 ON 02 JUN 2009
L20             STR L15
L21          13 SEA SUB=L2 SSS FUL L20

     FILE 'CAPLUS' ENTERED AT 10:39:01 ON 02 JUN 2009
L22         639 SEA SPE=ON  ABB=ON  PLU=ON  L21
L23             ANALYZE PLU=ON  L19 1-643 RN :   51004 TERMS  (TERM LIMIT
                EXCEEDED)
                D

     FILE 'REGISTRY' ENTERED AT 10:41:54 ON 02 JUN 2009
L24           1 SEA SPE=ON  ABB=ON  PLU=ON  149104-90-5
                D SCA
```

```
L25          18 SEA SPE=ON  ABB=ON  PLU=ON  L18 NOT L24

     FILE 'CAPLUS' ENTERED AT 10:42:16 ON 02 JUN 2009
L26          21 SEA SPE=ON  ABB=ON  PLU=ON  L25
L27         632 SEA SPE=ON  ABB=ON  PLU=ON  L24
L28           5 SEA SPE=ON  ABB=ON  PLU=ON  L8
L29          25 SEA SPE=ON  ABB=ON  PLU=ON  L26 OR L28

     FILE 'CAPLUS' ENTERED AT 10:43:20 ON 02 JUN 2009

     FILE 'REGISTRY' ENTERED AT 10:43:50 ON 02 JUN 2009
               D QUE L14

     FILE 'CAPLUS' ENTERED AT 10:43:56 ON 02 JUN 2009
               D QUE L28
               D L28 IBIB ABS HITSTR TOT
               D QUE L26
               D L26 IBIB ABS HITSTR TOT
               D QUE L27
               D L27 1-3 630-632 IBIB ABS HITSTR


     FILE HOME

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:    1 JUN 2009  HIGHEST RN 1151607-22-5
     DICTIONARY FILE UPDATES:   1 JUN 2009  HIGHEST RN 1151607-22-5

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

     TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

       Please note that search-term pricing does apply when
       conducting SmartSELECT searches.

     REGISTRY includes numerically searchable data for experimental and
     predicted properties as well as tags indicating availability of
     experimental property data in the original document.  For information
     on property searching in REGISTRY, refer to:

     http://www.cas.org/support/stngen/stndoc/properties.html

     FILE CAPLUS

     Copyright of the articles to which records in this database refer is
     held by the publishers listed in the PUBLISHER (PB) field (available
     for records published or updated in Chemical Abstracts after December
     26, 1996), unless otherwise indicated in the original publications.
     The CA Lexicon is the copyrighted intellectual property of the
     American Chemical Society and is provided to assist you in searching
     databases on STN.  Any dissemination, distribution, copying, or storing
     of this information, without the prior written consent of CAS, is
     strictly prohibited.

     FILE COVERS 1907 - 2 Jun 2009  VOL 150 ISS 23
     FILE LAST UPDATED: 1 Jun 2009  (20090601/ED)
     REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Feb 2009
```

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.